

08/718,377

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The (S) proximity operator should be used to correctly link chemical uniterms with role numbers. Enter 'HELP (S)' at an arrow prompt for more information on using the (S) operator when searching this file.

Due to changes made by the US Patent Office in assignment records provided to IFI, some records in the IFICDB file have the "REASSIGNED" indicator in the Document Type (/DT) field and do not have corresponding reassignment data in the IFIRXA file. These patents have not been reassigned, and the extraneous "REASSIGNED" indicators will be removed from the IFICDB file with the next reload.

=> s ((34553 or 34615)(1)(33696 or 33697 or 33698 or 33700 or 34140 or 34141)(1)(30971 or 30972 or 30973 or 30295))/fg

34553 R I C3N3 1,3,5-TRIAZINE RING (P)  
34615 R I C4N2 PYRIMIDINE RING (P)  
33696 F O ETHER FG (M)  
33697 F O ETHER FG (P-1)  
33698 F O ETHER FG (P-2)  
33700 F O ETHER FG (P-4+)  
34140 F S SULFIDE, THIOETHER FG (P-1)  
34141 F S SULFIDE, THIOETHER FG (P-2+)  
30971 F CO2 CARBOXYLIC ESTER FG (P-1)  
30972 F CO2 CARBOXYLIC ESTER FG (P-2)  
30973 F CO2 CARBOXYLIC ESTER FG (P-3)  
30295 F CHO2 CARBOXYLIC ACID FG (P-1)  
11714 34553/FG  
14758 34615/FG  
86203 33696/FG  
149329 33697/FG  
109553 33698/FG  
68891 33700/FG  
59804 34140/FG  
26578 34141/FG  
110265 30971/FG  
59358 30972/FG  
28603 30973/FG  
106072 30295/FG

L1 9026 ((34553 OR 34615)(L)(33696 OR 33697 OR 33698 OR 33700 OR

jones

34140 OR 34141) (L) (30971 OR 30972 OR 30973 OR 30295)) /FG

=> s 11(notl) (34211 or 33918 or 34128 or 33962 or 33079 or 30384 or 30321 or 33895 or 30602 or 33979 or 33847 or 30995)/fg

34211 FUSED OR BRIDGED RING (M)  
33918 F O3S SULFONIC ACID, SULFONATE FG (M)  
34128 F QUATERNARY AMMONIUM FG (M)  
30384 F CNO2 CARBAMIC ACID, CARBAMATE FG (M)  
30321 F CNO ISOCYANATE FG (M)  
33895 F O3P PHOSPHONIC ACID, PHOSPHONATE FG (M)  
30602 F CN2O UREA FG (M)  
33979 F O4S SULFATE FG (M)  
33847 F O2SI O-SI-O (M)  
30995 F CO3 CARBONATE FG (M)  
100231 34211/FG  
25088 33918/FG  
21402 34128/FG  
0 33962 OR 33079/FG  
8144 30384/FG  
6570 30321/FG  
5338 33895/FG  
5202 30602/FG  
4726 33979/FG  
4334 33847/FG  
3862 30995/FG

L2 6196 L1(NOTL) (34211 OR 33918 OR 34128 OR 33962 OR 33079 OR 30384  
OR 30321 OR 33895 OR 30602 OR 33979 OR 33847 OR 30995)/FG

=> s (02797)/un or hypertension?

02797 HYPERTENSIVE AGENTS  
1125 (02797)/UN  
3207 HYPERTENSION?  
L3 4230 (02797)/UN OR HYPERTENSION?

=> s myocardial infarct or 03568/un

03568 NECROSIS  
853 MYOCARDIAL  
128 INFARCT  
41 MYOCARDIAL INFARCT  
(MYOCARDIAL (W) INFARCT)  
388 03568/UN  
L4 417 MYOCARDIAL INFARCT OR 03568/UN

=> s raynaud's?

MISMATCHED QUOTE 'RAYNAUD'S?'  
Quotation marks (or apostrophes) must be used in pairs,  
one before and one after the expression you are setting  
off or masking.

=> s raynauds? or raynaud?

5 RAYNAUDS?  
55 RAYNAUD?  
L5 55 RAYNAUDS? OR RAYNAUD?

=> s 00441 or atherosclerosis

20 00441  
660 ATHEROSCLEROSIS

jones

L6 680 00441 OR ATHEROSCLEROSIS

=> s 08423

L7 0 08423

=> s 08423/un

08423 ENDOTHELIAL CELLS

L8 381 08423/UN

=> s 00441/un or 16

00441 ATHEROSCLEROSIS

1250 00441/UN

L9 1408 00441/UN OR L6

=> s 05859/un or 05860/un

05859 VASOCONSTRICTION

05860 VASODILATION

554 05859/UN

2470 05860/UN

L10 2938 05859/UN OR 05860/UN

=> s 514241000-514276000/nclr

L11 8483 514241000-514276000/NCLR

=> d his

(FILE 'HOME' ENTERED AT 13:47:52 ON 06 JAN 1998)

FILE 'IFICDB' ENTERED AT 13:48:06 ON 06 JAN 1998

L1 9026 S ((34553 OR 34615) (L) (33696 OR 33697 OR 33698 OR 33700 O

L2 6196 S L1(NOTL) (34211 OR 33918 OR 34128 OR 33962OR 33079 OR 30

L3 4230 S (02797)/UN OR HYPERTENSION?

L4 417 S MYOCARDIAL INFARCT OR 03568/UN

L5 55 S RAYNAUDS? OR RAYNAUD?

L6 680 S 00441 OR ATHEROSCLEROSIS

L7 0 S 08423

L8 381 S 08423/UN

L9 1408 S 00441/UN OR L6

L10 2938 S 05859/UN OR 05860/UN

L11 8483 S 514241000-514276000/NCLR

=> s 12 and 110

L12 104 L2 AND L10

=> s 111 and 112

L13 38 L11 AND L12

=> s 13 or 14 or 15 or 19

L14 5913 L3 OR L4 OR L5 OR L9

=> s 114 and 113

L15 13 L14 AND L13

jones

=> s 112 and 18  
L16 4 L12 AND L8  
=> s 12 and 18  
L17 7 L2 AND L8  
=> s 115 or 116 or 117  
L18 20 L15 OR L16 OR L17  
=> s 113 or 118  
L19 44 L13 OR L18  
=> s 119 not 118  
L20 24 L19 NOT L18  
=> d 118 1- bib,ab

YOU HAVE REQUESTED DATA FROM 20 ANSWERS - CONTINUE? Y/ (N) :y

L18 ANSWER 1 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2803555 IFIPAT;IFIUDB;IFICDB  
TI THIENYL-, FURYL- AND PYRROLYL SULFONAMIDES AND DERIVATIVES THEREOF  
THAT MODULATE THE ACTIVITY OF ENDOTHELIN; VASOCONSTRICATORS  
INF Balaji, Vitukudi N, Encinitas, CA  
Castillo, Rosario S, San Diego, CA  
Chan, Ming F, San Diego, CA  
Kois, Adam, San Diego, CA  
Raju, Bore G, San Diego, CA  
Verner, Erik J, San Diego, CA  
Wu, Chengde, San Diego, CA  
Yalamoori, Venkatachalamapathi, San Diego, CA  
IN Balaji Vitukudi N; Castillo Rosario S; Chan Ming F; Kois Adam; Raju  
Bore G; Verner Erik J; Wu Chengde; Yalamoori Venkatachalamapathi  
PAF Texas Biotechnology Corporation, Houston, TX  
PA Texas Biotechnology Corp (36865)  
EXNAM McKane, Joseph  
AG Brown, Martin, Haller & McClain  
Seidman, Stephanie L  
PI US 5594021 970114  
AI US 95-477223 950606  
RLI US 93-65202 930520 CONTINUATION-IN-PART ABANDONED  
US 93-100125 930730 CONTINUATION-IN-PART ABANDONED  
US 93-100565 930730 CONTINUATION-IN-PART ABANDONED  
US 93-142159 931021 CONTINUATION-IN-PART 5464853  
US 93-142552 931021 CONTINUATION-IN-PART 5514691  
US 93-142631 931021 CONTINUATION-IN-PART ABANDONED  
US 94-222287 940405 CONTINUATION-IN-PART  
US 94-247072 940520 CONTINUATION-IN-PART  
US 95-417075 950404 CONTINUATION-IN-PART ABANDONED  
FI US 5594021 970114  
US 5464853  
US 5514691  
DT UTILITY  
FS CHEMICAL  
CLMN 174  
AB Thienyl-, furyl- and pyrrolyl-sulfonamides and methods for  
modulating or altering the activity of the endothelin family of

jones

peptides are provided. In particular, N(isoxazolyl)thienylsulfonamides, N-(isoxazolyl)furylsulfonamides and N-(isoxazolyl)pyrrolylsulfonamides and methods using these sulfonamides for inhibiting the binding of an endothelin peptide to an endothelin receptor by contacting the receptor with the sulfonamide are provided. Methods for treating endothelinmediated disorders by administering effective amounts of one or more of these sulfonamides or prodrugs thereof that inhibit or increase the activity of endothelin are also provided.

L18 ANSWER 2 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2798691 IFIPAT;IFIUDB;IFICDB  
TI BENZENESULFONAMIDE DERIVATIVE AND PROCESS FOR PREPARING THEREOF;  
ENDOTHELIN ANTAGONISTS  
INF Kikkawa, Kohei, Kawaguchi, JP  
Kohno, Rikako, Omiya, JP  
Yamada, Koichiro, Saitama-ken, JP  
Yasuda, Kosuke, Saitama-ken, JP  
IN Kikkawa Kohei (JP); Kohno Rikako (JP); Yamada Koichiro (JP); Yasuda  
Kosuke (JP)  
PAF Tanabe Seiyaku Co, Ltd, Osaka, JP  
PA Tanabe Seiyaku Co Ltd JP (82733)  
EXNAM Grumbling, Matthew V  
AG Finnegan, Henderson, Farabow, Garrett & Dunner, LLP  
PI US 5589478 961231  
AI US 94-356958 941216  
PRAI JP 93318779 931217  
JP 94140628 940623  
JP 94183553 940804  
FI US 5589478 961231  
DT UTILITY  
FS CHEMICAL  
MRN 7261 MFN: 0101  
CLMN 15  
AB A benzenesulfonamide derivative of the formula (I):

D R A W I N G

wherein Ring A and Ring B are the same or different and each substituted or unsubstituted benzene ring, Q is a single bond or a group of the formula: -O-, -S-, -SO-, -SO<sub>2</sub>- or -CH<sub>2</sub>-, Y is a group of the formula: -O-, -S- or -NH-, Alk is lower alkylene group or lower alkenylene group, Z is a single bond or a group of the formula: -O- or -NH-, R is a substituted or unsubstituted aromatic heterocyclic or aryl group, R1 is hydrogen atom, trifluoromethyl group, substituted or unsubstituted lower alkyl group, substituted or unsubstituted lower alkenyl group, mono- or di-lower alkylamino group, substituted or unsubstituted lower alkylthio group, substituted or unsubstituted lower alkoxy group, substituted or unsubstituted lower alkynyl group, aromatic heterocyclic group, substituted or unsubstituted aliphatic heterocyclic group or aryl group, provided that when Z is a single bond, R is a substituted or unsubstituted aromatic heterocyclic group, or a pharmaceutically acceptable salt thereof, and processes for preparing the same, these compounds having endothelin antagonistic activity and being useful in the prophylaxis or treatment of various diseases caused by endothelin.

L18 ANSWER 3 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2745319 IFIPAT;IFIUDB;IFICDB  
TI SULFONYLAMINOPYRIMIDINES; ENDOTHELIN RECEPTOR INHIBITORS  
INF Breu, Volker, Schliengen, DE  
Burri, Kaspar, Binningen, CH

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Cassal, Jean-Marie, Mulhouse, FR  
Clozel, Martine, Saint-Louis, FR  
Hirth, Georges, Huningue, FR  
Loffler, Bernd-Michael, Oberrimsingen, DE  
Muller, Marcel, Frenkendorf, CH  
Neidhart, Werner, Bartenheim, FR  
Ramuz, Henri, Birsfelden, CH  
IN Breu Volker (DE); Burri Kaspar (CH); Cassal Jean-Marie (FR); Clozel Martine (FR); Hirth Georges (FR); Loffler Bernd-Michael (DE); Muller Marcel (CH); Neidhart Werner (FR); Ramuz Henri (CH)  
PAF Hoffmann-La Roche Inc, Nutley, NJ  
PA Hoffmann-La Roche Inc (39424)  
EXNAM Ford, John M  
AG Gould, George M  
Johnston, George W  
Silverman, Robert A  
PI US 5541186 960730  
AI US 94-266072 940627  
PRAI CH 931924 930628  
CH 941575 940520  
FI US 5541186 960730  
DT UTILITY; REASSIGNED  
FS CHEMICAL  
CLMN 23  
AB A compound of the formula

D R A W I N G

wherein R1 to R, Ra, RbX, Y, Z, m and n have the significance given in the description, can be used as medicaments, especially for the treatment and prophylaxis of conditions which are associated with endothelin activities.

L18 ANSWER 4 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2742872 IFIPAT;IFIUDB;IFICDB  
TI ENDOTHELIN ANTAGONISTS BEARING 5-MEMBERED HETEROCYCLIC AMIDES; TREATMENT OF HYPERTENSION, CARDIOVASCULAR DISORDERS, PROSTATE HYPERPLASIA OR ANTIINFLAMMATORY AGENTS  
INF Ashton, Wallace T, Clark, NJ  
Chang, Linda L, Wayne, NJ  
Greenlee, William J, Teaneck, NJ  
IN Ashton Wallace T; Chang Linda L; Greenlee William J  
PAF Merck & Co, Inc, Rahway, NJ  
PA Merck & Co Inc (54136)  
EXNAM Gerstl, Robert  
AG Camara, Valerie J  
Daniel, Mark R  
PI US 5538991 960723  
AI US 94-306275 940914  
FI US 5538991 960723  
DT UTILITY; REASSIGNED  
FS CHEMICAL  
MRN 7957 MFN: 0913  
CLMN 22  
AB Phenoxyphenylacetic acids and derivatives of the general structural formula I

D R A W I N G

have endothelin antagonist activity and are useful in treating cardiovascular disorders, such as hypertension, postischemic renal failure, vasospasm, cerebral and cardiac ischemia, myocardial infarction, endotoxic shock, benign prostatic hyperplasia,

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inflammatory diseases including Raynaud's disease and asthma.

L18 ANSWER 5 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2740375 IFIPAT;IFIUDB;IFICDB  
TI S-NITROSO DERIVATIVES OF HYDRAZINOACETIC ACIDS, 1-((ACYLTHIO AND  
(MERCAPTO)-1-OXOALKYL)-1,2,34-TETRAHYDROQUINOLINE-2-CARBOXYLIC  
ACIDS AND ALANYL PROLINES AND ISOQUINOLINES; VASODILATORS  
INF Cooke, John, Needham Heights, MA  
Loscalzo, Joseph, Dedham, MA  
IN Cooke John; Loscalzo Joseph  
PAF Brigham & Women's Hospital, Boston, MA  
PA Brigham and Women's Hospital (8822)  
EXNAM Higel, Floyd D  
AG Herron, Charles J  
Olstein, Elliot M  
PI US 5536723 960716  
AI US 94-319414 941006  
RLI US 88-206763 880615 CONTINUATION-IN-PART 5002964  
US 89-328397 890324 DIVISION 5025001  
US 91-715588 910614 DIVISION 5187183  
US 93-13404 930204 DIVISION 5356890  
FI US 5536723 960716  
US 5002964  
US 5025001  
US 5187183  
US 5356890  
DT UTILITY  
FS CHEMICAL  
CLMN 36  
GI 6 Drawing Sheet; 11 Figures;  
AB The invention relates to novel S-nitroso derivatives of ACE  
inhibitors and to pharmaceutical compositions comprising the  
S-nitrosothiol derivatives of the invention together with a  
pharmaceutically acceptable carrier. The invention also relates to  
methods for treating various pathophysiological conditions  
including acute myocardial infarction, left ventricular dysfunction  
without overt heart failure, **hypertension**, pulmonary  
**hypertension**, congestive heart failure, angina pectoris,  
vascular thrombosis, **Raynauds** syndrome, scleroderma,  
toxemia of pregnancy, acute renal failure, diabetic nephropathy,  
and renal artery stenosis, and to methods of inhibiting ACE and  
effecting vasodilation comprising administering the S-nitrosothiol  
derivatives of the ACE inhibitors of the invention to an animal.

L18 ANSWER 6 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2715969 IFIPAT;IFIUDB;IFICDB  
TI PHENYL SULFONAMIDE ENDOTHELIN ANTAGONISTS; ISOXAZOLE MOIETY  
ATTACHED TO N; USED TO TREAT HYPERTENSION, CELL DISORDERS AND  
GROWTH, ENDOTOXEMIA AND ISCHEMIA  
INF Hunt, John T, Princeton, NJ  
Murugesan, Natesan, Lawrenceville, NJ  
Stein, Philip D, Princeton, NJ  
IN Hunt John T; Murugesan Natesan; Stein Philip D  
PAF Bristol-Myers Squibb Co, Princeton, NJ  
PA Bristol-Myers Squibb Co (22921)  
EXNAM McKane, Joseph K  
AG Babajko, Suzanne E  
PI US 5514696 960507 (CITED IN 001 LATER PATENTS)  
AI US 93-146262 931029  
RLI US 92-879000 920506 CONTINUATION-IN-PART ABANDONED  
US 93-21410 930223 CONTINUATION-IN-PART ABANDONED  
US 93-41583 930413 CONTINUATION-IN-PART ABANDONED  
FI US 5514696 960507

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DT UTILITY  
FS CHEMICAL  
OS CA 125:114639  
MRN 6770 MFN: 0977  
CLMN 22  
AB Compounds of the formula

D R A W I N G

inhibit the activity of endothelin. The symbols are defined as follows: R1, R2 and R3 are each independently (a) hydrogen, except that R1 is other than hydrogen; (b) alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl or aralkoxy, any of which may be substituted with Z1, Z2 and Z3; (c) halo; (d) hydroxyl; (e) cyano; (f) nitro; (g) -C(O)H or -C(O)R6; (h) -CO2H or -CO2R6; (i) -SH, -S(O)nR6, -S(O)m-OH, -S(O)m-OR6, -O-S(O)m-R6, -OS(O)mOH or -O-S(O)m-OR6; (j) -Z4-NR7R8; or (k) -Z4-N(R11-Z5-NR9R10; and the remaining symbols are as defined in the specification.

L18 ANSWER 7 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2589324 IFIPAT;IFIUDB;IFICDB  
TI QUINAZOLINONES SUBSTITUTED WITH PHENOXYPHENYLACETIC ACID  
DERIVATIVES; CARDIOVASCULAR DISORDERS OR HYPOTENSIVE AGENTS  
INF Bagley, Scott W, Rahway, NJ  
Chakravarty, Prasun K, Edison, NJ  
Chen, Anna, Rahway, NJ  
Dhanoa, Daljit S, Tinton Falls, NJ  
Fitch, Kenneth J, Cranford, NJ  
Greenlee, William J, Teaneck, NJ  
Naylor, Elizabeth M, Scotch Plains, NJ  
Tata, James R, Westfield, NJ  
Walsh, Thomas F, Westfield, NJ  
Williams, Jr, David L, Telford, PA  
IN Bagley Scott W; Chakravarty Prasun K; Chen Anna; Dhanoa Daljit S;  
Fitch Kenneth J; Greenlee William J; Naylor Elizabeth M; Tata James  
R; Walsh Thomas F; Williams David L Jr  
PAF Merck & Co, Inc, Rahway, NJ  
PA Merck & Co Inc (54136)  
EXNAM Ford, John M  
AG Camara, Valerie J  
Daniel, Mark R  
DiPrima, Joseph F  
PI US 5401745 950328 (CITED IN 001 LATER PATENTS)  
AI US 93-33595 930319  
FI US 5401745 950328  
DT UTILITY  
FS CHEMICAL  
MRN 7238 MFN: 0283  
CLMN 10  
AB Phenoxyphenylacetic acids and derivatives of general structural  
formula I

D R A W I N G

have endothelin antagonist activity and are therefore useful in treating cardiovascular disorders, such as **hypertension**, postischemic renal failure, vasospasm, cerebral and cardiac ischemia, myocardial infarction, inflammatory diseases, **Raynaud's disease**, and endotoxic shock, and asthma.

L18 ANSWER 8 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2451969 IFIPAT;IFIUDB;IFICDB

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TI SULFONAMIDES  
INF Burri, Kaspar, Binningen, CH  
Clozel, Martine, St Louis, FR  
Fischli, Walter, Allschwil, CH  
Hirth, Georges, Huningue, FR  
Loffler, Bernd-Michael, Oberrimsingen, DE  
Neidhart, Werner, Bartenheim, FR  
Ramuz, Henri, Birsfelden, CH  
IN Burri Kaspar (CH); Clozel Martine (FR); Fischli Walter (CH); Hirth Georges (FR); Loffler Bernd-Michael (DE); Neidhart Werner (FR); Ramuz Henri (CH)  
PAF Hoffmann-La Roche Inc, Nutley, NJ  
PA Hoffmann-La Roche Inc (39424)  
EXNAM Ford, John M  
AG Coletti, Ellen Ciambrone  
Gould, George M  
Johnston, George W  
PI US 5292740 940308 (CITED IN 007 LATER PATENTS)  
AI US 92-896015 920609  
PRAI CH 91-1760 911760 910613  
CH 92-1516 921516 920512  
FI US 5292740 940308  
DT UTILITY  
FS CHEMICAL  
MRN 6255 MFN: 0768  
6319 0716  
6676 0159  
6676 0163  
CLMN 33  
AB The novel sulfonamides of formula I,

D R A W I N G

in which the symbols R1-R9, Ra, Rb, X, Y and n have the significance given in the description and salts thereof can be used for the treatment of circulatory disorders, especially hypertension, ischemia, vasopasms and angina pectoris.

L18 ANSWER 9 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2426627 IFIPAT;IFIUDB;IFICDB  
TI SULFONAMIDES AND USES; TREATMENT OF CIRCULATORY DISORDERS  
INF Burri, Kaspar, Binningen, CH  
Clozel, Martine, St Louis, FR  
Fischli, Walter, Allschwil, CH  
Hirth, Georges, Huningue, FR  
Loffler, Bernd M, Oberrimsingen, DE  
Ramuz, Henri, Birsfelden, CH  
IN Burri Kaspar (CH); Clozel Martine (FR); Fischli Walter (CH); Hirth Georges (FR); Loffler Bernd M (DE); Ramuz Henri (CH)  
PAF Hoffmann-La Roche Inc, Nutley, NJ  
PA Hoffmann-La Roche Inc (39424)  
EXNAM Ford, John M  
AG Gould, George M  
Johnston, George W  
Krovatin, William  
PI US 5270313 931214 (CITED IN 010 LATER PATENTS)  
AI US 92-869274 920415  
PRAI CH 91-1242 911242 910425  
CH 92-343 92343 920206  
FI US 5270313 931214  
DT UTILITY  
FS CHEMICAL  
MRN 6164 MFN: 0038

jones

6164 0041

25

CLMN AB Sulfonamides of formula I, in which the symbols R1-R6, X, Y and n have the significance given in the description and which are in part novel compounds, and salts thereof, which can be used as active ingredients for the manufacture of medicaments for the treatment of circulatory disorders, especially **hypertension**, ischemia, vasospasms and angina pectoris, are described.

L18 ANSWER 10 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2417750 IFIPAT;IFIUDB;IFICDB  
TI AMINOPYRIDINE COMPOUNDS; FOR TREATING DISEASES OF THE CIRCULATORY SYSTEM  
INF Eda, Masahiro, Osaka, JP  
Eiraku, Miyuki, Osaka, JP  
Fukaya, Chikara, Osaka, JP  
Goda, Maki, Osaka, JP  
Hihara, Mitsuyoshi, Osaka, JP  
Matzno, Sumio, Osaka, JP  
Nakamura, Norifumi, Osaka, JP  
Okada, Takehiro, Osaka, JP  
Sakashita, Hiroshi, Osaka, JP  
Sugiura, Masanori, Osaka, JP  
Takemoto, Tadahiro, Osaka, JP  
Uchida, Yasumi, Chiba, JP  
IN Eda Masahiro (JP); Eiraku Miyuki (JP); Fukaya Chikara (JP); Goda Maki (JP); Hihara Mitsuyoshi (JP); Matzno Sumio (JP); Nakamura Norifumi (JP); Okada Takehiro (JP); Sakashita Hiroshi (JP); Sugiura Masanori (JP); Takemoto Tadahiro (JP); Uchida Yasumi (JP)  
PAF The Green Cross Corporation, Osaka, JP  
PA Green Cross Corp The JP (35916)  
EXNAM Tsang, Cecilia  
AG Sughrue, Mion, Zinn, Macpeak & Seas  
PI US 5262415 931116  
AI US 92-850817 920313  
PRAI JP 91-76777 9176777 910315  
FI US 5262415 931116  
DT UTILITY  
FS CHEMICAL  
MRN 6084 MFN: 0507  
6084 0509  
CLMN 20  
AB An aminopyridine compound represented by the formula:

D R A W I N G

wherein n represents 0 or 1; Z represents =S, =O, =NCN or =CHNO2; R1 represents -CN, -NR3R4, -CONR3R4, -NHNR3R4, -NHCONHR3, -NHSO2R3 or -SR3; R2 represents H, or substituted or unsubstituted alkyl; R3 and R4, which may be the same or different, represent H, substituted or unsubstituted alkyl, aryl, substituted or unsubstituted acyl or alkoxy carbonyl group; and R3 and R4 may form a heterocyclic ring together with a nitrogen atom to which R3 and R4 are bound, through another heteroatom or without it; or an acid salt thereof, which is excellent in pharmacological effect and repressed in side effects as a drug for circulatory diseases.

L18 ANSWER 11 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2374027 IFIPAT;IFIUDB;IFICDB  
TI XANTHINE COMPOUNDS AND COMPOSITIONS; AND METHODS OF USING THEM; PHOSPHODIESTERASE INHIBITORS, ANTIINFLAMMATORY AGENTS, ANTIALLERGENS  
INF Gristwood, Robert W, Barcelona, ES

jones

Mauri, Jacinto M, Barcelona, ES  
 Noverola, Armando V, Barcelona, ES  
 Soto, Jose M P, Barcelona, ES  
 IN Gristwood Robert W (ES); Mauri Jacinto M (ES); Noverola Armando V (ES); Soto Jose M P (ES)  
 PAF Laboratorios Almirall SA, Barcelona, ES  
 PA Laboratorios Almirall S A ES (31177)  
 EXNAM Rizzo, Nicholas S  
 AG Spencer, Frank & Schneider  
 PI US 5223504 930629 (CITED IN 001 LATER PATENTS)  
 WO 9109859 910711  
 AI US 91-743388 910816  
 WO 90-GB2027 901227  
     910816 PCT 371 date  
     910816 PCT 102(e) date  
 PRAI GB 8929208 891227  
 FI US 5223504 930629  
 DT UTILITY  
 FS CHEMICAL  
 MRN 5912 MFN: 0414  
 CLMN 9  
 AB PCT No. PCT/GB90/02027 Sec. 371 Date Aug. 16, 1991 Sec. 102(e) Date Aug. 16, 1991 PCT Filed Dec. 27, 1990 PCT Pub. No. WO91/09859 PCT Pub. Date Jul. 11, 1991. Xanthines of the general formula:

DRAWING

wherein R1 represents a straight or branched chain alkyl, alkenyl or alkynyl group of 3-6 carbon atoms, and R2 and R3, which may be the same or different, each represent hydrogen or halogen or a methyl, methoxy, nitro or trifluoromethyl group or R2 and R3 together form a methylenedioxy or ethylenedioxy group; with the proviso that R2 and R3 are not both hydrogen; and pharmacologically acceptable salts thereof with an alkali metal base or a nitrogen base containing organic base, are bronchodilators making them of value in treating asthma and vasodilators making them of interest in treating angina, **hypertension**, congestive heart failure and multi-infarct dementia. The compounds are also of use in combatting other conditions where inhibition of PDE type IV is thought to be beneficial. The compounds can be prepared by treating and corresponding 6-amino uracil with sodium nitrite and formic acid in an excess of formamide and adding sodium dithionite to reduce the resulting 6-amino-5-nitroso compound to give the 5,6-diamino compound that ring closes with the excess of formamide.

L18 ANSWER 12 OF 20 IFICDB COPYRIGHT 1998 IFI  
 AN 2109730 IFIPAT; IFIUDB; IFICDB  
 TI PHARMACEUTICAL FORMULATIONS FOR PARENTERAL USE; DECREASING PRECIPITATION AT INJECTION SITE OR IN LUNGS OR OTHER ORGANS BY COMBINING WITH HYDROXYPROPYL-BETA-CYCLODEXTRIN  
 INF Bodor, Nicholas S, Gainesville, FL  
 IN Bodor Nicholas S  
 PAF University of Florida, Gainesville, FL  
 PA Florida, University of (31139)  
 EXNAM Griffin, Ronald W  
 AG Baumeister, Mary Katherine  
     Clarke, Dennis P  
 PI US 4983586 910108 (CITED IN 018 LATER PATENTS)  
 AI US 88-174945 880329  
 RLI US 87-139755 871230 CONTINUATION-IN-PART  
 FI US 4983586 910108  
 DT UTILITY  
 FS CHEMICAL

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MRN 5016 MFN: 0341  
CLMN 41  
GI 2 Drawing Sheet; 3 Figures;  
AB Aqueous parenteral solutions of drugs which are insoluble or only sparingly soluble in water and/or which are unstable in water, combined with hydroxypropyl- Beta -cyclodextrin, provide a means for alleviating problems associated with drug precipitation at the injection site and/or in the lungs or other organs following parenteral administration.

L18 ANSWER 13 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2039662 IFIPAT;IFIUDB;IFICDB  
TI N-SUBSTITUTED 3,4-DIHYDROPRIMIDINE COMPOUNDS AS AGENTS FOR TREATING DISORDERS OF CARDIOVASCULAR SYSTEM; HYPOTENSIVE AND CARDIOTONIC AGENTS; VASODILATION  
INF Cho, Hidetsura, Osaka, JP  
Ueda, Masaru, Saitama, JP  
IN Cho Hidetsura (JP); Ueda Masaru (JP)  
PAF Suntory Limited, Osaka, JP  
PA Suntory Ltd JP (81755)  
EXNAM Ford, John M  
EXNAM Whittenbaugh, Robert C  
AG Cushman, Darby & Cushman  
PI US 4920124 900424  
AI US 88-157777 880219  
PRAI JP 87-38345 8738345 870221  
FI US 4920124 900424  
DT UTILITY  
FS CHEMICAL  
MRN 4858 MFN: 0206  
CLMN 5  
GI 1 Drawing Sheet; 1 Figures;  
AB N-substituted 3,4-dihydropyrimidine compounds of the formula:

D R A W I N G

wherein R is straight, branched, cyclic or cyclo-straight alkyl having from one to four carbon atoms; and X1, X2 and X3 are the same or different and are hydrogen, halogen, lower alkyl having from one to four carbon atoms, lower alkoxy having from one to four carbon atoms, nitro, trifluoromethyl, hydroxy, or tbutyldimethylsilyloxy with the proviso that the case wherein X1, X2 and X3 are all hydrogen is not applicable have substantially strong and lasting vasodilative effects. Therefore, the compounds are useful as agents for treating disorders of the cardiovascular system, for example, antihypertensive agents, circulation improver and antianginal agents.

L18 ANSWER 14 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 2013482 IFIPAT;IFIUDB;IFICDB  
TI PHARMACEUTICALLY USEFUL DIHYDROPRIDINYLDICARBOXYLATE AMIDES AND ESTERS INCORPORATING ARYLPiperazinylalkyl MOIETIES; CARDIOVASCULAR DISORDERS  
INF Poindexter, Graham S, Evansville, IN  
Temple, Jr, Davis L, Evansville, IN  
IN Poindexter Graham S; Temple Davis L Jr  
PAF Bristol-Myers Company, New York, NY  
PA Bristol-Myers Co (11376)  
EXNAM Hollrah, Glennon H  
EXNAM Turnipseed, James H  
AG Ryan, Richard P  
Uloth, Robert H  
PI US 4895846 900123 (CITED IN 004 LATER PATENTS)

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AI US 87-134715 871218  
RLI US 84-599097 840411 CONTINUATION-IN-PART ABANDONED  
US 85-693426 850122 DIVISION 4755512  
FI US 4895846 900123  
US 4755512  
DT UTILITY  
FS CHEMICAL  
CLMN 19  
AB A series of 1,4-dihydropyridin-3,5-yl dicarboxylic acid amides and esters incorporating an arylpiperazinylalkyl moiety have been prepared possessing the general formula

D R A W I N G

wherein R4 is cycloalkyl, aryl or hetaryl, generally with electron-withdrawing substituents; R2 and R6 are lower alkyl, alkanol, alkoxyalkyl, or alkylaminoalkyl; R5 is R2 or arylpiperazinylalkyl; X is O or NH; Y is lower alkylene, alkoxyalkylene, alkylaminoalkylene; and Z is phenyl, substituted phenyl, pyridinyl, substituted pyridinyl, or pyrimidinyl. Compounds of this series demonstrate activity as calcium and alpha-adrenergic blockers in in vitro testing and antihypertensive, anti-ischemic, and platelet function inhibiting actions in vivo screens.

L18 ANSWER 15 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 1865059 IFIPAT;IFIUDB;IFICDB  
TI 1,4-DIHYDROPYRIDINE DERIVATIVES, AND PHARMACEUTICAL COMPOSITIONS CONTAINING SAME, USEFUL FOR TREATING CARDIOVASCULAR DISEASES  
INF Hagihara, Koichiro, Itami, JP  
Koyama, Hiroyasu, Ageo, JP  
Suzuki, Yoshikuni, Ohmiya, JP  
IN HAGIHARA KOICHIRO (JP); KOYAMA HIROYASU (JP); SUZUKI YOSHIKUNI (JP)  
PAF Nisshin Flour Milling Co, Ltd, Tokyo, JP  
PA NISSHIN FLOUR MILLING CO LTD JP (60029)  
EXNAM Rotman, Alan L  
AG Cushman, Darby & Cushman  
PI US 4757071 880712 (CITED IN 001 LATER PATENTS)  
AI US 85-806454 851209  
PRAI JP 84-262942 84262942 841214  
JP 85-233349 85233349 851021  
JP 85-233350 85233350 851021  
JP 85-269302 85269302 851202  
FI US 4757071 880712  
DT UTILITY  
FS CHEMICAL  
MRN 4513 MFN: 0643  
CLMN 13  
AB 2,6-Dimethyl-4-(2- or 3-substituted phenyl)-1,4-dihydropyridine3,5-dicarboxylic acid diesters, having vasodilating and blood pressure lowering effects, in the ester moiety at the 3-position of which a heterocyclic group is linked to an alkylene group through an ester bond (carbonyloxy group). The diesters are used for treatment of cardiac diseases, cerebrovascular diseases and hypertension

L18 ANSWER 16 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 1863381 IFIPAT;IFIUDB;IFICDB  
TI PHARMACEUTICALLY USEFUL DIHYDROPYRIDINYLDICARBOXYLATE AMIDES AND ESTERS INCORPORATING ARYLPiperazinylALKYL MOITIES  
INF Poindexter, Graham, Evansville, IN  
Temple, Jr, Davis L, Evansville, IN  
IN POINDEXTER GRAHAM; TEMPLE DAVIS L JR  
PAF Bristol-Myers Company, New York, NY

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PA BRISTOL-MYERS CO (11376)  
EXNAM Hollrah, Glennon H  
EXNAM Turnipseed, James H  
AG Uloth, Robert H  
PI US 4755512 880705 (CITED IN 002 LATER PATENTS)  
AI US 85-693426 850122  
RLI US 84-599097 840411 CONTINUATION-IN-PART ABANDONED  
FI US 4755512 880705  
DT UTILITY; EXPIRED  
FS CHEMICAL  
MRN 4368 MFN: 0834  
CLMN 9  
AB A series of 1,4-dihydropyridin-3,5-yl dicarboxylic acid amides and esters incorporating an arylpiperazinylalkyl moiety have been prepared possessing the general formula

2-R2, 3-((4-Z-PIPERAZIN-1-YL)-Y-X-CO-), 4-R4, 5-(R5-OOC-),  
6-R6-1, 4-DIHYDROPYRIDINE XXI'

wherein R4 is cycloalkyl, aryl or hetaryl, generally with electronwithdrawing substituents; R2 and R6 are lower alkyl, alkanol, alkoxyalkyl, or alkylaminoalkyl; R5 is R2 or arylpiperazinylalkyl; X is O or NH; Y is lower alkylene, alkoxyalkylene, alkylaminoalkylene; and Z is phenyl, substituted phenyl, pyridinyl, substituted pyridinyl, or pyrimidinyl. Compounds of this series demonstrate activity as calcium and alphaadrenergic blockers in in vitro testing and antihypertensive, antiischemic, and platelet function inhibiting actions in in vivo screens.

L18 ANSWER 17 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 1619809 IFIPAT; IFIUDB; IFICDB  
TI DIHYDROPYRIDINE DERIVATIVES AND THEIR USE IN TREATING HEART CONDITIONS AND HYPERTENSION; VASODILATORS  
INF Campbell, Simon F, Deal, GB  
Cross, Peter E, Canterbury, GB  
Stubbs, John K, Deal, GB  
IN CAMPBELL SIMON F (GB); CROSS PETER E (GB); STUBBS JOHN K (GB)  
PAF Pfizer Inc, New York, NY  
PA PFIZER INC (65376)  
EXNAM Raymond, Richard L  
EXNAM Turnipseed, James H  
AG Frost, Albert E  
Knuth, Charles J  
McManus, James M  
PI US 4539322 850903 (CITED IN 003 LATER PATENTS)  
AI US 83-528507 830901  
RLI US 83-463092 830202 CONTINUATION-IN-PART ABANDONED  
PRAI GB 8225246 820904  
EP 83-304954 83304954.7 830826  
FI US 4539322 850903  
DT UTILITY  
FS CHEMICAL  
MRN 4177 MFN: 0643  
CLMN 20  
AB 1,4-Dihydropyridine derivatives of the formula:

2-(CH3-), 3-(R1-OOC-), 4-R, 5-(R2-OOC-), 6-((4-R3-PIPERAZIN-1-YL)-Y-O-CH2-)-1, 4-DIHYDROPYRIDINE

and their pharmaceutically acceptable acid addition salts; where R is aryl or heteroaryl; R1 and R2 are each independently C1-C4 alkyl or 2-methoxyethyl; Y is -(CH2)2-, -(CH2)3-, -CH2CH(CH3)- or -CH2C(CH3)2-; R3 is hydrogen or an organic substituent are useful

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L18 ANSWER 18 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 1038790 IFIPAT;IFIUDB;IFICDB  
TI BICYCLIC DERIVATIVES OF 1,4-DIHYDROPYRIDINE 3,5-DICARBOXYLIC ACID  
ESTERS; HYPOTENSIVE, CORONARY DILATORS  
INF Bossert, Friedrich, Wuppertal-Elberfeld, DE  
Meyer, Horst, Wuppertal, DE  
Stoepel, Kurt, Wuppertal-Vohwinkel, DE  
Vater, Wulf, Opladen, DE  
IN BOSSERT FRIEDRICH; MEYER HORST; STOEPEL KURT; VATER WULF  
PAF Bayer Aktiengesellschaft, DE  
PA BAYER AG DE (29448)  
EXNAM Waddell, Frederick E  
PI US 3988458 761026 (CITED IN 001 LATER PATENTS)  
AI US 74-532458 741213  
RLI US 73-336483 730228 DIVISION 3855231  
US 74-454996 740327 DIVISION 3950336  
PRAI DE 72-2210633 720306  
FI US 3988458 761026  
US 3855231  
US 3950336  
DE 2210633  
FR 2181794  
GB 1384504  
DT UTILITY  
FS CHEMICAL  
OS CA 87:5816  
CLMN 9  
AB 2,6-Diamino-1,4-dihydropyridines bearing carbonyl functions in the 3- and 5-positions and being substituted in the 4-position by lower alkyl, phenyl, substituted phenyl or a heterocyclic group are antihypertensive agents and coronary vessel dilators. The compounds, of which 2,6-diamino-4-(3-nitrophenyl)-1,4dihydropyridine-3,5-dicarboxylic acid 3,5-diethyl ester is a representative embodiment, are prepared through condensation of an amidine with either an aldehyde or an ylidene cyanoacetoacetic acid ester.

L18 ANSWER 19 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 1024507 IFIPAT;IFIUDB;IFICDB  
TI 1,4-DIHYDROPYRIDINE CARBOXYLIC ACID ESTERS USEFUL AS CORONARY  
VESSEL DILATORS AND ANTI-HYPERTENSIVES  
INF Bossert, Friedrich, Wuppertal, DE  
Meyer, Horst, Wuppertal, DE  
Vater, Wulf, Opladen, DE  
IN BOSSERT FRIEDRICH; MEYER HORST; VATER WULF  
PAF Bayer Aktiengesellschaft, DE  
PA BAYER AG DE (29448)  
EXNAM Schenkman, Leonard  
PI US 3974275 760810 (CITED IN 006 LATER PATENTS)  
AI US 75-548395 750210  
RLI US 73-350381 730412 DIVISION 3905970  
PRAI DE 72-2218644 720418  
FI US 3974275 760810  
US 3905970  
DE 2218644  
FR 2182983  
GB 1383625  
DT UTILITY  
FS CHEMICAL  
OS CA 80:14958

CLMN 50  
AB Certain 1,4-dihydropyridine carboxylic acid esters or their pharmaceutically acceptable non toxic salts are useful as coronary vessel dilators and antihypertensives.

L18 ANSWER 20 OF 20 IFICDB COPYRIGHT 1998 IFI  
AN 0960860 IFIPAT;IFIUDB;IFICDB  
TI PHARMACEUTICAL COMPOSITION UTILIZING 2-AMINO-1,4-DIHYDROPYRIDINE DERIVATIVES AND METHOD OF EFFECTING CORONARY VESSEL DILATION AND TREATING HYPERTENSION IN HUMANS AND ANIMALS  
INF Bossert, Friedrich, Wuppertal-Elberfeld, DE  
Meyer, Horst, Wuppertal-Elberfeld, DE  
Stoepel, Kurt, Wuppertal-Elberfeld, DE  
Vater, Wulf, Opladen, DE  
IN BOSSERT FRIEDRICH; MEYER HORST; STOPEL KURT; VATER WULF  
PAF Bayer Aktiengesellschaft, DE  
PA BAYER AG DE (29448)  
EXNAM Meyers, Albert T  
EXNAM Stephens, Daren M  
PI US 3911123 751007 (CITED IN 001 LATER PATENTS)  
AI US 74-439305 740204  
RLI US 73-336639 730228 DIVISION  
PRAI DE 73-2210674 730414  
FI US 3911123 751007  
DE 2210674  
FR 2183675  
GB 1369401  
DT UTILITY  
FS CHEMICAL  
OS CA 80:3397  
CLMN 176  
AB 2-Amino-1,4-dihydropyridines bearing a carbonyl function in the 5-position and being optionally substituted by lower alkyl or phenyl in the 6-position, and the corresponding 2-aminol,4,5,6,7,8-hexahydro-5-oxoquinolines, which derivatives are further substituted by a carbonyl group in the 3-position and optionally substituted in the 4-position by lower alkyl, phenyl, substituted phenyl or a heterocyclic group are antihypertensive agents and coronary vessel dilators. The compounds, of which 2amino-6-methyl-4-(3-nitrophenyl)-1,4-dihydropyridine-3,5dicarboxylic acid 3,5-diethyl ester is a representative embodiment, are prepared through condensation of an ylideneacetoacetic acid ester and an amidine.

=> d his

(FILE 'HOME' ENTERED AT 13:47:52 ON 06 JAN 1998)

FILE 'IFICDB' ENTERED AT 13:48:06 ON 06 JAN 1998  
L1 9026 S ((34553 OR 34615) (L) (33696 OR 33697 OR 33698 OR 33700 O  
L2 6196 S L1(NOTL) (34211 OR 33918 OR 34128 OR 33962 OR 33079 OR 30  
L3 4230 S (02797) /UN OR HYPERTENSION?  
L4 417 S MYOCARDIAL INFARCT OR 03568/UN  
L5 55 S RAYNAUDS? OR RAYNAUD?  
L6 680 S 00441 OR ATHEROSCLEROSIS  
L7 0 S 08423  
L8 381 S 08423/UN  
L9 1408 S 00441/UN OR L6  
L10 2938 S 05859/UN OR 05860/UN  
L11 8483 S 514241000-514276000/NCLR  
L12 104 S L2 AND L10  
L13 38 S L11 AND L12

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L14 5913 S L3 OR L4 OR L5 OR L9  
L15 13 S L14 AND L13  
L16 4 S L12 AND L8  
L17 7 S L2 AND L8  
L18 20 S L15 OR L16 OR L17  
L19 44 S L13 OR L18  
L20 24 S L19 NOT L18

=> d l20 1- bib,ab

YOU HAVE REQUESTED DATA FROM 24 ANSWERS - CONTINUE? Y/ (N) :y

L20 ANSWER 1 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 2350613 IFIPAT;IFIUDB;IFICDB  
TI 2-THIO OR OXO-4-ARYL OR HETEROCYCLO-1,5(2H)-PYRIMIDINEDICARBOXYLIC  
ACID DIESTERS AND 3-ACYL-5-PYRIMIDINECARBOXYLIC ACIDS AND ESTERS;  
HYPOTENSIVE AND CARDIOVASCULAR AGENT, REDUCES BLOOD PRESSURE IN  
MAMMALS  
INF Atwal, Karnail, Cranbury  
Kimball, Spencer D, East Windsor, NJ  
Rovnyak, George C, Hopewell  
IN Atwal Karnail; Kimball Spencer D; Rovnyak George C  
PAF E R Squibb & Sons, Inc, Princeton, NJ  
PA Squibb, E R & Sons Inc (79248)  
EXNAM Richter, Johann  
AG Davis, Stephen B  
PI US 5202330 930413  
AI US 87-618 870105  
RLI US 85-740800 850603 CONTINUATION-IN-PART ABANDONED  
US 86-864687 860519 CONTINUATION-IN-PART ABANDONED  
FI US 5202330 930413  
DT UTILITY  
FS CHEMICAL  
CLMN 30  
AB Pyrimidine compounds of the formula

D R A W I N G

wherein X is sulfur or oxygen, Y is R11 or -O-R1, and R4 is aryl  
or heterocyclo are disclosed. These compounds are useful as  
cardiovascular agents, particularly anti-hypertensive agents, due  
to their calcium entry blocking vasodilator activity.

L20 ANSWER 2 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 2157143 IFIPAT;IFIUDB;IFICDB  
TI 4-AMINO QUINOLINES AND NAPHTHYRIDINES AND THEIR USE AS MEDICINES;  
PREVENTION AND TREATMENT OF CARDIOVASCULAR DISORDERS AND INFECTIONS  
STATES; ANXIOLYTIC AND ANTI-ALLERGIC AGENTS  
INF Bachi, Andre, Toulouse, FR  
Keane, Peter E, Garonne, FR  
Mendes, Etienne, Toulouse, FR  
Vernieres, Jean-Claude, Muret, FR  
IN Bachi Andre (FR); Keane Peter E (FR); Mendes Etienne (FR);  
Vernieres Jean-Claude (FR)  
PAF Sanofi, Paris, FR  
PA Sanofi FR (7606)  
EXNAM Dentz, Bernard I  
AG Wegner, Cantor, Mueller & Player  
PI US 5026711 910625 (CITED IN 001 LATER PATENTS)  
AI US 89-362105 890606  
PRAI FR 88-8807498 88 07498 880606  
FR 88-8808075 88 08075 880615

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FI US 5026711 910625  
DT UTILITY; REASSIGNED  
FS CHEMICAL  
MRN 5150 MFN: 0200  
CLMN 20  
AB Compounds represented by the general formula:

D R A W I N G

in which R1 and R2 are selected from hydrogen, C1-C6 alkyl or C2-C6 alkenyl, phenyl or benzyl or R1 and R2 form together with the nitrogen atom to which they are attached a C4-C8 saturated heterocycle, R3 is selected from hydrogen, C1-C6 alkyl, phenyl or C7-C9 phenyl-alkyl, R4 is selected from hydrogen or C1-C4 alkyl, R5 and R6 are selected from hydrogen or halogen, C1-C3 or alkoxy, nitro or trifluoromethyl; Z is selected from OH, C1-C6 alkoxy, C1-C4 alkyl, benzyl, C4-C6 aryl with or without a heteroatom, or NR8R9, R8 and R9 being selected from hydrogen, C1-C4 alkyl, phenyl or benzyl; R10 is selected from hydrogen, C1-C4 alkyl or phenyl; n is 0, 1 or 3, p is 0 or 1 and one of the symbols A, B, C, D represents N and the others CH or A, B, C, D all represent CH and their acid addition salts, and their salts with bases. The compounds are useful in the prevention and treatment of cardiovascular diseases, as anti-allergic drugs, in the prevention and treatment of infectious states, and for the treatment of anxiety.

L20 ANSWER 3 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 2106105 IFIPAT;IFIUDB;IFICDB  
TI 1-(AMINOPHENYL)-2-AMINOPROPANONE DERIVATIVES; ANTIDEPRESSANTS, VASODILATION, IMMUNOSTIMULANTS  
INF Lafon, Louis, Paris, FR  
IN Lafon Louis (FR)  
PAF Laboratoire L Lafon, Masion Alfort, FR  
PA Laboratoire l'Lafon S A FR (47787)  
EXNAM Hollrah, Glennon H  
EXNAM Rand, Scott C  
AG Wegner, Cantor, Mueller & Player  
PI US 4980377 901225 (CITED IN 004 LATER PATENTS)  
AI US 88-270627 881114  
RLI US 85-765218 850813 CONTINUATION-IN-PART ABANDONED  
PRAI FR 84-8412962 85401624.3 840820  
EP 85-401624 85401624.3 850809  
FI US 4980377 901225  
DT UTILITY  
FS CHEMICAL  
OS CA 115:49099  
MRN 4972 MFN: 0721  
CLMN 7  
AB The present invention relates to the preparation of new 1(aminophenyl)-2-aminopropanone derivatives of the general formula:

D R A W I N G

in which X is NH<sub>2</sub>, Y is H or a halogen atom, Z is H or a halogen atom, R1 is C1-C4 alkyl or C3-C6 cycloalkyl and R2 is H or C1-C4 alkyl, or R1 and R2, taken together, can form, with the nitrogen atom to which they are bonded, a heterocyclic group selected from the group consisting of the pyrrolidino, morpholino, thiomorpholino, piperidino, hexamethyleneimino, piperazino, 4methyl-piperazino, 4-( Beta -hydroxyethyl)piperzaino, 4phenylpiperazino and 4-(p-chlorophenyl)piperazino groups, and addition salts thereof. These new derivatives are useful as

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pharmaceuticals. They are obtained by deacetylation of the corresponding acetylated products.

L20 ANSWER 4 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 2087299 IFIPAT;IFIUDB;IFICDB  
TI (((3-PYRIDINYL)METHYLEN)AMINO)OXY)ALKANOIC ACIDS AND ESTERS;  
INHIBIT BIOSYNTHESIS OF THROMBOXANE A2  
INF Freyne, Eddy J E, Rumst, BE  
Raeymaekers, Alfons H M, Beerse, BE  
Sipido, Victor, Merksem, BE  
Venet, Marc G, Paris, FR  
IN Freyne Eddy J E (BE); Raeymaekers Alfons H M (BE); Sipido Victor  
(BE); Venet Marc G (FR)  
PAF Janssen Pharmaceutica NV, Beerse, BE  
PA Janssen Pharmaceutica N V BE (43736)  
EXNAM Fan, Jane T  
AG Metz, Charles J  
PI US 4963573 901016  
AI US 89-356592 890523  
RLI US 86-888670 860723 CONTINUATION ABANDONED 4746671  
US 88-156513 880216 CONTINUATION ABANDONED 4746671  
US 85-794999 851104 CONTINUATION-IN-PART ABANDONED  
FI US 4963573 901016  
US 4746671  
US 4746671  
DT UTILITY  
FS CHEMICAL  
CLMN 36  
AB Novel (((3-pyridinyl)methylen)amino)oxy)alkanoic acids and esters, compositions containing the same, and methods of treating clinical conditions related with the production of thromboxane A2, prostacyclin and/or prostaglandins D2, E2 and F2 Alpha .

L20 ANSWER 5 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 2085644 IFIPAT;IFIUDB;IFICDB  
TI PYRIDAZINONE DERIVATIVES; CARDIAC STIMULANTS  
INF Coates, William J, Welwyn Garden City, GB  
Emmett, John C, Welwyn, GB  
IN Coates William J (GB); Emmett John C (GB)  
PAF Smith Kline & French Laboratories Limited, Welwyn Garden City, GB  
PA Smith Kline & French Laboratories Ltd GB (356)  
EXNAM Rizzo, Nicholas S  
AG King, William T  
Lentz, Edward T  
Suter, Stuart R  
PI US 4962110 901009 (CITED IN 001 LATER PATENTS)  
AI US 89-392687 890810  
RLI US 86-837975 860310 CONTINUATION ABANDONED  
FI US 4962110 901009  
DT UTILITY; EXPIRED  
FS CHEMICAL  
OS CA 114:185531  
CLMN 21  
AB The invention relates to 2-aminopyrimidinone derivatives that have utility as cardiac stimulants. A compound of the invention is 6-(4-(1,4-dihydro-4-oxo-2-pyrimidinylamino)phenyl)-5-methyl-4,5-dihydro-3(2H)-pyridazinone.

L20 ANSWER 6 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1969800 IFIPAT;IFIUDB;IFICDB  
TI 1,2,3,4-TETRAHYDRO-6-SUBSTITUTED-4-ARYL(OR HETEROCYCLO)-3-((SUBSTITUTED AMINO)CARBONYL)-2-THIOXO (OR OXO)-5-PYRIMIDINECARBOXYLIC ACIDS AND ESTERS

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INF Atwal, Karnail, Cranbury, NJ  
Rovnyak, George C, Hopewell, NJ  
IN ATWAL KARNAIL; ROVNYAK GEORGE C  
PAF E R Squibb & Sons, Inc, Princeton, NJ  
PA SQUIBB, E R & SONS INC (79248)  
EXNAM Ford, John M  
AG Gaul, Timothy J  
PI US 4855301 890808 (CITED IN 005 LATER PATENTS)  
AI US 87-8037 870209  
RLI US 86-839767 860314 CONTINUATION-IN-PART ABANDONED  
US 86-917349 861009 CONTINUATION-IN-PART ABANDONED  
FI US 4855301 890808  
DT UTILITY  
FS CHEMICAL  
MRN 5106 MFN: 0266  
CLMN 26  
AB Cardiovascular activity is exhibited by compounds having the formula

2- (X=), 3- (R-N(-R1)-CO-), 4-R4, 5- (R3-OOC-), 6-R2-1, 2, 3, 4-  
TETRAHYDROPYRIMIDINE

and pharmaceutically acceptable salts thereof wherein X is oxygen or sulfur; R is hydrogen, alkyl, cycloalkyl, aryl, or arylalkyl and R1 is hydrogen, alkyl, cycloalkyl, aryl, heterocyclo,

-C(-R5)(-R6)-(CH2)N-Y2, -C(-R5)(-R6)-(CH2)P-Y3

OR HALO SUBSTITUTED ALKYL, OR R AND R1 TAKEN TOGETHER WITH NITROGEN ATOM TO WHICH THEY ARE ATTACHED ARE 1-PYRROLIDINYLPiperidinyl, 1-AZEPINYL, 4-MORPHOLINYL, 4-THIAMORPHOLINYL, PIPERAZINYL, 4-ALKYL-1-PIPERAZINYL, 4-ARYLALKYL-1-PIPERAZI 4-DIARYLALKYL-1-PIPERAZINYL OR 1-PYRROLIDINYL, 1-PIPERIDIN OR 1-AZEIPINYL SUBSTITUTED WITH ALKYL, ALKOXY, ALKYLTHIO, TRIFLUOROMETHYL OR HYDROXY;

or halo substituted alkyl, or R and R1 taken together with the nitrogen atom to which they are attached are 1-pyrrolidinyl, 1piperidinyl, 1-azepinyl, 4-morpholinyl, 4-thiamorpholinyl, 1piperazinyl, 4-alkyl-1-piperazinyl, 4-arylalkyl-1-piperazinyl, 4-diarylalkyl-1-piperazinyl or 1-pyrrolidinyl, 1-piperidinyl, or 1-azeipinyl substituted with alkyl, alkoxy, alkylthio, halo, trifluoromethyl or hydroxy; R2 is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl,

-C(-R5)(-R6)-(CH2)N-Y1,

OR HALO SUBSTITUTED ALKYL;

or halo substituted alkyl; R3 is hydrogen, alkyl, cycloalkyl, aryl, heterocyclo,

-C(-R5)(-R6)-(CH2)N-Y2, -C(-R5)(-R6)-(CH2)P-Y3,

OR HALO SUBSTITUTED ALKYL;

or halo substituted alkyl; R4 is aryl or heterocyclo; R5 and R6 are each independently hydrogen, alkyl, -(CH2)q-aryl or -(CH2)q-cycloalkyl; Y1 is cycloalkyl, aryl, heterocyclo, hydroxyl, alkoxy, aryl(CH2)m-O-, mercapto, alkylthio, aryl-(CH2)m-S-, amino, substituted amino, carbamoyl,

(SUBSTITUTED AMINO)-CO-, HETEROCYCLO-(CH2)m-CO-,

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CARBOXYL, ALKOXYCARBONYL, ALKYL-CO-, ARYL-(CH<sub>2</sub>)<sub>M</sub>-CO-,  
ALKYL-COO- OR ARYL-(CH<sub>2</sub>)<sub>M</sub>-COO-

Y<sub>3</sub> is hydroxyl, alkoxy, aryl-(CH<sub>2</sub>)<sub>m</sub>-O-, mercapto, alkylthio,  
aryl-(CH<sub>2</sub>)<sub>m</sub>-S-,

ALKYL-COO-, ARYL-(CH<sub>2</sub>)<sub>M</sub>-COO-,

AMINO, OR SUBSTITUTED AMINO;

amino, or substituted amino; q is 0, 1, 2 or 3; m is 0 or an integer of 1 to 6; n is 0 or an integer of 1 to 5; and p is an integer of 1 to 5.

L20 ANSWER 7 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1937330 IFIPAT;IFIUDB;IFICDB  
TI PYRIMIDONES AS CARDIOTONIC, ANTI HYPERTENSIVE, CEREBROVASCULAR VASODILATOR AND ANTI-PLATELET AGENTS  
INF Ito, Kiyotaka, Ibaragi, JP  
Murata, Masayoshi, Toyono, JP  
Takaya, Takao, Kawanishi, JP  
IN ITO KIYOTAKA (JP); MURATA MASAYOSHI (JP); TAKAYA TAKAO (JP)  
PAF Fujisawa Pharmaceutical Co, Ltd, Osaka, JP  
PA FUJISAWA PHARMACEUTICAL CO LTD JP (32600)  
EXNAM Daus, Donald G  
EXNAM Shen, Cecilia  
AG Oblon, Fisher, Spivak, McClelland & Maier  
PI US 4824851 890425 (CITED IN 001 LATER PATENTS)  
AI US 88-173584 880325  
RLI US 84-588902 840312 DIVISION 4612376  
US 86-870826 860605 DIVISION 4746664  
PRAI GB 838290 830325  
GB 8315542 830607  
GB 8327859 831018  
FI US 4824851 890425  
US 4612376  
US 4746664  
DT UTILITY; EXPIRED  
FS CHEMICAL  
CLMN 7  
AB New pyrimidine derivatives of the formula:

Y=C<(-C(-R<sub>4</sub>)=C(-R<sub>3</sub>)---Z---)

wherein Z is a group selected from

-N(-R<sub>2</sub>)-CO-N(-R<sub>1</sub>)-, -N=C(-O-R<sub>5</sub>)-N(-R<sub>1</sub>)-  
AND -N(-R<sub>2</sub>)-C(-O-R<sub>5</sub>)=N-,

in which R<sub>1</sub> and R<sub>2</sub> are each hydrogen, alkenyl, ar(lower)alkyl or lower alkyl optionally substituted with epoxy, hydroxy, amino and/or lower alkylamino and R<sub>5</sub> is lower alkyl, R<sub>3</sub> is hydrogen, aryl optionally substituted with lower alkyl, lower alkoxy and/or halogen, or pyridyl optionally substituted with lower alkyl, R<sub>4</sub> is hydrogen, lower alkyl or phenyl optionally substituted with lower alkoxy, and Y is=O, =S or =N-R<sub>6</sub>, in which R<sub>6</sub> is lower alkyl; cyclo(lower)alkyl; ar(lower)alkyl optionally substituted with lower alkoxy; N-containing unsaturated heterocyclic group optionally substituted with lower alkyl; or aryl optionally substituted with hydroxy, lower alkyl, halogen or lower alkoxy, in which lower alkoxy substituent may be substituted with epoxy, hydroxy, amino and/or lower alkylamino, provided that Y is=N-R<sub>6</sub> when R<sub>3</sub> and R<sub>4</sub> are each hydrogen, and Y is=S or=N-R<sub>6</sub> when R<sub>1</sub> and R<sub>2</sub> are each hydrogen

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or lower alkyl and R3 is phenyl, and pharmaceutically acceptable salts thereof, and processes for preparation thereof and pharmaceutical composition comprising the same. These derivatives and salts thereof are useful as cardiotonic, antihypertensive agent, cerebrovascular vasodilator and antiplatelet agent.

L20 ANSWER 8 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1935173 IFIPAT; IFIUDB; IFICDB  
TI CIRCULATION-ACTIVE 4-PHENYL-6-SUBSTITUTED DIHYDROPRIMIDINES  
INF Boshagen, Horst, Haan, DE  
Schramm, Matthias, Cologne, DE  
Stoltefuss, Jurgen, Haan, DE  
Thomas, Gunter, Wuppertal, DE  
IN BOSHAGEN HORST (DE); SCHRAMM MATTHIAS (DE); STOLTEFUSS JURGEN (DE);  
THOMAS GUNTER (DE)  
PAF Bayer Aktiengesellschaft, Leverkusen, DE  
PA BAYER AG DE (29448)  
EXNAM Daus, Donald G  
EXNAM Shen, Cecilia  
AG Sprung Horn Kramer & Woods  
PI US 4822798 890418 (CITED IN 001 LATER PATENTS)  
AI US 83-526931 830826  
PRAI DE 82-3234684 820918  
FI US 4822798 890418  
DT UTILITY  
FS CHEMICAL  
MRN 4168 MFN: 0202  
CLMN 10  
AB Circulatory system-active novel dihydropyrimidines of the formula  
  
2-R6, 4-(R1, R2, R3-PHENYL), 5-(R4-OOC-), 6-R5-1, 4-DIHYDRO-  
PYRIMIDINE

in which R1 and R6 are diverse organic radicals, and  
pharmacologically acceptable addition salts thereof.

L20 ANSWER 9 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1881718 IFIPAT; IFIUDB; IFICDB  
TI N-SUBSTITUTED 3,4-DIHYDROPRIMIDINE DERIVATIVES AS AGENTS FOR  
TREATING DISORDERS OF CARDIOVASCULAR SYSTEM; VASODILATION,  
HYPOTENSIVE  
INF Cho, Hidetsura, Osaka, JP  
Mizuno, Akira, Kyoto, JP  
Shima, Keiyu, Kyoto, JP  
IN CHO HIDETSURA (JP); MIZUNO AKIRA (JP); SHIMA KEIYU (JP)  
PAF Suntory Limited, Osaka, JP  
PA SUNTORY LTD JP (81755)  
EXNAM Lee, Mary C  
EXNAM Briscoe, Kurt G  
AG Cushman, Darby & Cushman  
PI US 4772602 880920 (CITED IN 001 LATER PATENTS)  
AI US 86-839621 860314  
PRAI JP 85-51645 8551645 850315  
FI US 4772602 880920  
DT UTILITY  
FS CHEMICAL  
MRN 4528 MFN: 0546  
CLMN 2  
GI 1 Drawing Sheet; 1 Figures;  
AB A N-substituted 3,4-dihydropyrimidine derivative of the formula  
(1):

2,6-DI(CH3-), 3-(R1-OOC-), 4-(((NO2-)PHENYL)-), 5-(R2-

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Wherein R1 is -(CH<sub>2</sub>)<sub>n</sub>-X; X is substituted aminoethyl, substituted sulfideethyl, substituted or nonsubstituted heterocyclo-ethyl wherein the ethylene group is directly bonded to a hetero atom in the heterocyclic ring, or substituted or nonsubstituted heterocyclo-methyl wherein the methylene group is directly bonded to a carbon atom in the heterocyclic ring; n is an integer from 0 to 8; R2 is straight, branched cyclic or cyclostraight alkyl having from one to thirteen carbon atoms, or aralkyl having from seven to thirteen carbon atoms and pharmacologically acceptable acid additional salts thereof have substantially strong and long lasting effects. Therefore the compounds are useful as agents for treating disorders of the cardiovascular system, and are useful, for example, as antihypertensive agents, circulation improvers and antianginal agents. A process for producing the above compounds economically and effectively is also disclosed.

L20 ANSWER 10 OF 24 IFICDB COPYRIGHT 1998 IFI  
 AN 1878279 IFIPAT; IFIUDB; IFICDB  
 TI DIHYDROPYRIMIDINE CARBOXYLIC ACID ESTERS; VASODILATION  
 INF Atwal, Karnail, Cranbury, NJ  
 IN ATWAL KARNAIL  
 PAF E R Squibb & Sons, Inc, Princeton, NJ  
 PA SQUIBB, E R & SONS INC (79248)  
 EXNAM Ford, John M  
 AG Furman, Jr, Theodore R  
 Levinson, Lawrence S  
 PI US 4769371 880906 (CITED IN 001 LATER PATENTS)  
 AI US 87-45956 870501  
 FI US 4769371 880906  
 DT UTILITY  
 FS CHEMICAL  
 OS CA 110:114853  
 MRN 4883 MFN: 0557  
 CLMN 16  
 AB Pyridine compounds of the formula

1-R1, 2-(NH(H)====), 4-R2, 5-(R3-OOC-), 6-R4-1, 2, 3, 6-TETRA-  
 OR 1, 6-DIHYDROPYRIDINE

wherein R4 is aryl or heterocyclo are disclosed. These compounds are useful as cardiovascular agents due to their calcium entry blocking vasodilator activity.

L20 ANSWER 11 OF 24 IFICDB COPYRIGHT 1998 IFI  
 AN 1873100 IFIPAT; IFIUDB; IFICDB  
 TI MIXTURES OF OPTICALLY ACTIVE NITRODIHYDROPYRIDINES ACTIVE ON THE CIRCULATORY SYSTEM  
 INF Franckowiak, Gerhard, Wuppertal, DE  
 Gross, Rainer, Wuppertal, DE  
 Grosser, Rolf, Leverkusen, DE  
 Schramm, Matthias, Cologne, DE  
 Thomas, Gunter, Wuppertal, DE  
 IN FRANCKOWIAK GERHARD (DE); GROSS RAINER (DE); GROSSER ROLF (DE); SCHRAMM MATTHIAS (DE); THOMAS GUNTER (DE)  
 PAF Bayer Aktiengesellschaft, Leverkusen, DE  
 PA BAYER AG DE (29448)  
 EXNAM Lee, Mary C  
 EXNAM Bjorkman, Dale A  
 AG Sprung Horn Kramer & Woods  
 PI US 4764516 880816 (CITED IN 005 LATER PATENTS)  
 AI US 85-806071 851206

RRAI DE 84-3447169 841222  
FI US 4764516 880816  
DT UTILITY; EXPIRED  
FS CHEMICAL  
MRN 4492 MFN: 0859  
CLMN 7  
AB Pure enantiomers of 5-nitrodihydropyridine of the formula

1-R3, 2-R4, 3-(NO<sub>2</sub>), 4-R, 5-R1, 6-R2-1, 4-DIHYDROPYRIDINE

are mixed, wherein one of the enantiomers has a high vasodilative action and a low negative inotropic activity on heart muscle, the other enantiomer has a low vasoconstrictive action and a high positive inotropic activity on heart muscle, the mixture being high in vasodilative activity and in positive inotropic activity on heart muscle.

L20 ANSWER 12 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1861680 IFIPAT; IFIUDB; IFICDB  
TI PYRIMIDINECARBOXYLIC ACID DERIVATIVES; VASODILATION, HYPOTENSIVE AGENT  
INF Atwal, Karnail, Cranbury, NJ  
Rovnyak, George C, Hopewell, NJ  
IN ATWAL KARNAIL; ROVNYAK GEORGE C  
PAF E R Squibb & Sons, Inc, Princeton, NJ  
PA SQUIBB, E R & SONS INC (79248)  
EXNAM Ford, John M  
AG Furman, Jr, Theodore R  
Levinson, Lawrence S  
PI US 4753946 880628 (CITED IN 002 LATER PATENTS)  
AI US 87-36047 870408  
FI US 4753946 880628  
DT UTILITY  
FS CHEMICAL  
OS CA 109:149562  
MRN 4840 MFN: 0704  
CLMN 18  
AB Pyridine compounds of the formula

1-(R15-OOC-), 2-(R1-S-), 4-R2, 5-(R3-OOC-), 6-R4-1, 6-DIHYDROPYRIMIDINE

wherein R4 is aryl or heterocyclo are disclosed. These compounds are useful as cardiovascular agents due to their calcium entry blocking vasodilator activity.

L20 ANSWER 13 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1853790 IFIPAT; IFIUDB; IFICDB  
TI PHARMACEUTICAL USE OF (((3-PYRIDINYL)METHYLEN)AMINO)OXY)ALKANOIC ACIDS AND ESTERS; THROMBOXANE SYNTHETASE INHIBITION  
INF Freyne, Eddy J E, Rumst, BE  
Raeymaekers, Alfons H M, Beerse, BE  
Sipido, Victor, Merksem, BE  
Venet, Marc G, Paris, FR  
IN FREYNE EDDY J (BE); RAEYMAEKERS ALFONS H (BE); SIPIDO VICTOR (BE); VENET MARC G (FR)  
PAF Janssen Pharmaceutica NV, Beerse, BE  
PA JANSSEN PHARMACEUTICA N V BE (43736)  
EXNAM Jiles, Henry R  
EXNAM Bjorkman, Dale A  
AG Dellenbaugh, Geoffrey G  
PI US 4746671 880524  
AI US 86-888670 860723

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RLI US 85-794999 851104 CONTINUATION-IN-PART ABANDONED  
FI US 4746671 880524  
DT UTILITY  
FS CHEMICAL  
MRN 4709 MFN: 0654  
4709 0655  
CLMN 29  
AB Novel (((3-pyridinyl)methylen)amino)oxy)alkanoic acids and esters, compositions containing the same, and methods of treating clinical conditions related with the production of thromboxane A2, prostacyclin and/or prostaglandins D2, E2 and F2 Alpha .

L20 ANSWER 14 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1834310 IFIPAT;IFIUDB;IFICDB  
TI 2-SUBSTITUTED THIO OR OXY-4-ARYL OR HETEROCYCLO-5-CARBOXY-1,4-DIHYDROPRIMIDINES, COMPOSITION CONTAINING THEM, AND METHOD OF USING THEM TO REDUCE BLOOD PRESSURE; VASODILATORS  
INF Atwal, Karnail, Cranbury, NJ  
IN ATWAL KARNAIL  
PAF E R Squibb & Sons, Inc, Princeton, NJ  
PA SQUIBB, E R & SONS INC (79248)  
EXNAM Jiles, Henry R  
EXNAM Briscoe, Kurt G  
AG Davis, Stephen B  
Levinson, Lawrence S  
PI US 4728652 880301 (CITED IN 006 LATER PATENTS)  
AI US 86-854201 860421  
RLI US 85-736151 850520 CONTINUATION-IN-PART ABANDONED  
FI US 4728652 880301  
DT UTILITY; EXPIRED  
FS CHEMICAL  
MRN 4785 MFN: 0445  
CLMN 23  
AB 1,4-Dihydropyrimidines of the formula

2- (R1-X-), 4-R4, 5- (R3-OOC-), 6-R2-1, 4-DIHYDROPRIMIDINE

wherein X is sulfur or oxygen and R4 is aryl or heterocyclo and disclosed. These compounds are useful as cardiovascular agents, particularly anti-hypertensive agents, due to their vasodilator activity.

L20 ANSWER 15 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1832598 IFIPAT;IFIUDB;IFICDB  
TI PYRIMIDINE DERIVATIVES AND COMPOSITION OF THE SAME; CEREBROVASCULAR DISEASES  
INF Kuno, Atsushi, Mino, JP  
Sugiyama, Yoshie, Takarazuka, JP  
Takasugi, Hisashi, Osaka, JP  
Takaya, Takao, Kawanishi, JP  
IN KUNO ATSUSHI (JP); SUGIYAMA YOSHIE (JP); TAKASUGI HISASHI (JP); TAKAYA TAKAO (JP)  
PAF Fujisawa Pharmaceutical Co, Ltd, Osaka, JP  
PA FUJISAWA PHARMACEUTICAL CO LTD JP (32600)  
EXNAM Hollrah, Glennon H  
EXNAM Turnipseed, James H  
AG Oblon, Fisher, Spivak, McClelland & Maier  
PI US 4727073 880223 (CITED IN 005 LATER PATENTS)  
AI US 85-779043 850923  
PRAI GB 8424711 841001  
GB 859623 850415  
FI US 4727073 880223  
DT UTILITY; EXPIRED

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ES CHEMICAL  
MRN 4765 MFN: 0345  
CLMN 11  
AB The invention relates to new pyrimidine derivatives, useful in the treatment of cerebrovascular disease, of the formula:

2-R3, 4-AR, 5-R1, 6-R2-PYRIMIDINE

wherein Ar, R1, R2 and R3 are defined in the specification.

L20 ANSWER 16 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1831003 IFIPAT; IFIUDB; IFICDB  
TI PYRIMIDINE COMPOUNDS HAVING ACTIVITY AS A CARDIOTONIC  
ANTI-HYPERTENSIVE CEREBROVASCULAR VASODILATOR AND ANTI-PLATELET  
AGGREGATION AGENT  
INF Ito, Kiyotaka, Ibaragi, JP  
Murata, Masayoshi, Osaka, JP  
Takaya, Takao, Kawanishi, JP  
IN ITO KIYOTAKA (JP); MURATA MASAYOSHI (JP); TAKAYA TAKAO (JP)  
PAF Fujisawa Pharmaceutical Co, Ltd, Osaka, JP  
PA FUJISAWA PHARMACEUTICAL CO LTD JP (32600)  
EXNAM Daus, Donald G  
EXNAM Shen, Cecilia  
AG Oblon, Fisher, Spivak, McClelland & Maier  
PI US 4725600 880216 (CITED IN 010 LATER PATENTS)  
AI US 85-751867 850705  
PRAI GB 8417852 840713  
GB 8423667 840919  
GB 8430456 841203  
FI US 4725600 880216  
DT UTILITY; EXPIRED  
FS CHEMICAL  
MRN 4777 MFN: 0307  
CLMN 18  
AB The invention relates to pyrimidine compounds of the formula:

1-R5, 2-(R4---), 3-R3, 4-(R2---), 5-R6, 6-R1-DI-, TETRA- OR  
HEXAHYDROPYRIMIDINE

wherein the substituents R1, R2, R3, R4, R5 and R6 are as herein defined, having activity as a cardiotonic, anti-hypertensive, cerebrovascular vasodilator and anti-platelet aggregation agent.

L20 ANSWER 17 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1785208 IFIPAT; IFIUDB; IFICDB  
TI 2, 6-DIMETHYL-3N, 5-DISUBSTITUTED-4- (SUBSTITUTED PHENYL) 3, 4-  
DIHYDROPYRIMIDINE COMPOUNDS AND A METHOD FOR TREATING DISORDERS OF  
CARDIOCIRCULAR SYSTEM; HYPOTENSIVE AGENTS, ANTI-ANGINA  
INF Aisaka, Kazuo, Osaka, JP  
Cho, Hidetsura, Ibaraki, JP  
Ishihara, Takafumi, Toyonaka, JP  
Sato, Fumio, Nagaokakyo, JP  
IN AISAKA KAZUO (JP); CHO HIDETSURA (JP); ISHIHARA TAKAFUMI (JP); SATO  
FUMIO (JP)  
PAF Suntory Limited, Osaka, JP  
PA SUNTORY LTD JP (81755)  
EXNAM Daus, Donald G  
EXNAM Shen, Cecilia  
AG Cushman, Darby & Cushman  
PI US 4683234 870728 (CITED IN 004 LATER PATENTS)  
AI US 85-708885 850306  
DCD 3 Feb 2004  
PRAI JP 84-101569 84101569 840519

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JP 84-107004 84107004 840526  
JP 84-163614 84163614 840803  
FI US 4683234 870728  
DT UTILITY  
FS CHEMICAL  
MRN 4381 MFN: 0326  
CLMN 13  
AB N-substituted 3,4-dihydropyrimidine derivatives of the formula:

2,6-DI(CH3-), 3-(R1-OC-), 4-((X1,X2,X3-PHENYL)-), 5-(R2-OOC)-3,4-DIHYDROPRIMIDINE

wherein X1, X2 and X3 are the same or different and are hydrogen, nitro, halogen, cyano, trifluoromethyl, methylthio or lower alkoxy; R1 is (C1-C13) straight or branched alkoxy, (C4-C12) straight or branched alkenyloxy, (C5-C8) straight or branched alkynyloxy, (C1-C4) straight or branched alkyl, (C3-C6) cycloalkyl, -O-(CH2)n-A wherein n is 1, 2 or 3, A is cyclopropyl, cyclobutyl, cyclopentyl, or (C1-C3) haloalkyl, -O-(CH2)m-O-B wherein m is 1, 2, 3 or 4, B is (C1-C3) alkyl, or -O-(CH2)l-D wherein l is an integer from zero to 8, D is phenyl or substituted phenyl; R2 is (C1-C12) straight or branched alkyl, (C4-C7) straight or branched alkenyl, -(CH2)p-E wherein p is 1, 2 or 4, E is cyclopropyl, cyclobutyl or cyclopentyl,

-(CH2)q-N(-G)-J

wherein q is 2, 3 or 4; G and J are the same or different and are phenyl, methyl, ethyl, isopropyl, benzyl, phenethyl, methoxycarbonyl or ethoxycarbonyl, or -(CH2)r-O-L wherein r is 1 or 2, L is methyl, ethyl or phenyl, with the proviso that wherein R1 is methoxy or ethoxy, R2 is neither methyl nor ethyl, and pharmaceutically acceptable acid addition salts thereof are useful as agents for treating disorders of the cardiovascular system, for example, hypotensive agents, agents for amelioration of brain circulation and anti-angina pectoris agents. Processes for producing the above compounds economically and effectively are also disclosed.

L20 ANSWER 18 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1774885 IFIPAT;IFIUDB;IFICDB  
TI METHOD FOR TREATMENT OF GASTROINTESTINAL DISORDERS;  
2-AMINO-5-HYDROXY-4-METHYLPYRIMIDINE  
INF LaMattina, John L, Ledyard, CT  
IN LAMATTINA JOHN L  
PAF Pfizer Inc, New York, NY  
PA PFIZER INC (65376)  
EXNAM Daus, Donald G  
EXNAM Kapner, Stephen M  
AG Dryer, Mark  
Knuth, Charles J  
Richardson, Peter C  
PI US 4673677 870616 (CITED IN 004 LATER PATENTS)  
AI US 85-764351 850809  
RLI US 83-538233 831003 DIVISION 4554276  
FI US 4673677 870616  
US 4554276  
DT UTILITY  
FS CHEMICAL  
CLMN 3  
AB A method for the treatment of gastrointestinal disorders in a patient which comprises administering to the patient a gastric anti-secretory effective amount of a 2-amino-5-hydroxy-

jones

4methylpyrimidine or a substituted amino derivative thereof,  
optionally in admixture with an additional gastric anti-secretory  
agent.

L20 ANSWER 19 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1739684 IFIPAT; IFIUDB; IFICDB  
TI 3N-SUBSTITUTED 3,4-DIHYDROPYRIMIDINES AS AGENTS FOR TREATING  
DISORDERS OF CARDIOVASCULAR SYSTEM; VASODILATORS  
INF Aisaka, Kazuo, Mishima, JP  
Cho, Hidetsura, Ibaraki, JP  
Emon, Mariko, Matsudo, JP  
IN AISAKA KAZUO (JP); CHO HIDETSURA (JP); EMON MARIKO (JP)  
PAF Suntory Limited, Osaka, JP  
PA SUNTORY LTD JP (81755)  
EXNAM Daus, Donald G  
EXNAM Shen, Cecilia  
AG Cushman, Darby & Cushman  
PI US 4640922 870203 (CITED IN 003 LATER PATENTS)  
AI US 85-708887 850306  
PRAI JP 84-44729 8444729 840308  
FI US 4640922 870203  
DT UTILITY; EXPIRED  
FS CHEMICAL  
MRN 4381 MFN: 0324  
CLMN 4  
AB A 3N-substituted 3,4-dihydropyrimidine derivative of the formula:  
2-H3C, 3-(R1-OOC-), 4-R, 5-(R2-OOC-), 6-X-3,4-DIHYDRO-  
PYRIMIDINE

wherein R1 is methyl or ethyl, R2 is methyl or ethyl, R is phenyl or substituted phenyl, X is chloro or methyl and pharmaceutically acceptable acid addition salts thereof have substantially the same strong vasodilative and Ca<sup>++</sup> antagonistic effects as nicardipine and therefore are useful as agents for treating disorders of the cardiovascular system, for example, hypotensive agents, agents for amelioration of brain circulation and anti-angina pectoris agents. Processes for producing the above compounds economically and effectively are also disclosed.

L20 ANSWER 20 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1705269 IFIPAT; IFIUDB; IFICDB  
TI 1,4-DIHYDROPYRIDINE-3,5-DICARBOXYLIC ACID ESTER DERIVATIVES AND  
PHARMACEUTICAL COMPOSITIONS; VASODILATION; HYPOTENSIVE AGENTS  
INF Aihara, Kenichi, Fukuoka, JP  
Ao, Hideki, Nakatsu, JP  
Araki, Kazuhiko, Nakatsu, JP  
Inui, Jun, Tokyo, JP  
IN AIHARA KENICHI (JP); AO HIDEKI (JP); ARAKI KAZUHIKO (JP); INUI JUN  
(JP)  
PAF Yoshitomi Pharmaceutical Industries, Ltd, Osaka, JP  
PA YOSHITOMI PHARMACEUTICAL INDUSTRIES LTD JP (93712)  
EXNAM Ramsuer, Robert W  
AG Sughrue, Mion, Zinn, Macpeak & Seas  
PI US 4618607 861021 (CITED IN 005 LATER PATENTS)  
AI US 82-448576 821210  
PRAI WO 82-JP75 82JP75 820317  
FI US 4618607 861021  
DT UTILITY; EXPIRED  
FS CHEMICAL  
MRN 4588 MFN: 0728  
CLMN 7  
AB 1,4-Dihydropyridine-3,5-dicarboxylic acid ester derivatives of the

jones

general formula:

2-R1,3-(R3-OOC-),4-((X1,X2,X3-(Y-HC=W-HC=)>HC)-)-  
1,4-DIHYDROPYRIDINE

or acid addition salts thereof, wherein W is -CH= or -N=; Y is CH=CH-, -O-, -S-, -CH=N(O)p- (p is zero or 1) or -N(R)- (R is hydrogen or lower alkyl); X1, X2 and X3 are the same or different, and are each hydrogen, halogen, nitro, trifluoromethyl, cyano or lower alkylthio; Z is aryl or 5- or 6membered aromatic heterocyclic ring (which may have a substituent or two or three substituents which may be the same or different, and the substituent may be halogen, lower alkyl, lower alkoxy, lower alkanoylamino, cyano, nitro, lower alkylthio, trifluoromethyl, sulfamoyl, di-lower alkylsulfamoyl, amino or di-lower alkylamino);

-N<(-A-)

is 5- to 7-membered heterocyclic ring which may have nitrogen atom, oxygen atom, sulfur atom or unsaturated bond on the ring, and may be substituted by lower alkyl, lower alkoxy carbonyl, lower alkanoylamino, ethylenedioxy or -(CH<sub>2</sub>)<sub>m</sub>-OR<sub>4</sub> (R<sub>4</sub> is hydrogen, lower alkyl or lower alkanoyl and m is 0, 1 or 2); R<sub>1</sub> and R<sub>2</sub> are the same or different, and are each lower alkyl; R<sub>3</sub> is lower alkyl, aralkyl, heteroaralkyl; and n is an integer 1 to 5. Such compounds are useful as antihypertensive agents and as therapeutic agents for cardiac and cerebral circulation disorders.

L20 ANSWER 21 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1599112 IFIPAT;IFIUDB;IFICDB  
TI ANTIHYPERTENSIVE N-SUBSTITUTED 1,4-DIHYDROPYRIDINES; WATER-SOLUBLE VASODIALATORS  
INF Jones, Howard, Ossining, NY  
Loev, Bernard, Scarsdale, NY  
Suh, John T, Greenwich, CT  
IN JONES HOWARD; LOEV BERNARD; SUH JOHN T  
PAF USV Pharmaceutical, Tuckahoe, NY  
PA USV PHARMACEUTICAL CORP (88014)  
EXNAM Jiles, Henry R  
EXNAM Bjorkman, Dale A  
PI US 4520131 850528 (CITED IN 004 LATER PATENTS)  
AI US 83-471957 830303  
FI US 4520131 850528  
DT UTILITY; REASSIGNED; EXPIRED  
FS CHEMICAL  
OS CA 103:123366  
MRN 4103 MFN: 0451  
CLMN 4  
AB Antihypertensive compounds of the formula

1-(R4-N(-R3)-Z-),2,6-DI(R2-),3,5-BIS(R1-OOC-),4-AR-  
1,4-DIHYDROPYRIDINE

where the substituents are as herein defined and where Z is alkylene, R<sub>3</sub> is alkoxyalkyl and R<sub>4</sub> is hydroxyalkyl.

L20 ANSWER 22 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1293057 IFIPAT;IFIUDB;IFICDB  
TI SILA-SUBSTITUTED 1,4-DIHYDROPYRIDINE DERIVATIVES AND THEIR MEDICINAL USE  
INF Bentlage, Anke, Braunschweig, DE  
Tacke, Reinhold, Braunschweig, DE  
Towart, Robertson, Wuppertal, DE

jones

IN Vater, Wulf, Leverkusen, DE  
IN BENTLAGE ANKE (DE); TACKE REINHOLD (DE); TOWART ROBERTSON (DE);  
VATER WULF (DE)  
PAF Bayer Aktiengesellschaft, Leverkusen, DE  
PA BAYER AG DE (29448)  
EXNAM Jiles, Henry R  
EXNAM Bond, Robert T  
AG Sprung, Elfie, Horn, Lynch & Kramer  
PI US 4237137 801202 (CITED IN 010 LATER PATENTS)  
AI US 79-64936 790808  
PRAI DE 78-2837477 780828  
FI US 4237137 801202  
DT UTILITY  
FS CHEMICAL  
CLMN 15  
AB The invention provides sila-substituted 1,4-dihydropyridine derivatives useful as medicament which influence the circulation. Also included in the invention are methods for the procurement of said derivatives, compositions containing said derivatives and methods for the use of said derivatives.

L20 ANSWER 23 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1024510 IFIPAT;IFIUDB;IFICDB  
TI 1,4-DIHYDROPIRIDINE ESTERS; CORONARY DILATORS, ANTI-FIBRILLATORS, ANTI-HYPERTENSIVES, SPASMOlyTICS  
INF Bossert, Friedrich, Wuppertal, DE  
Stoepel, Kurt, Wuppertal, DE  
Vater, Wulf, Opladen, DE  
Wehinger, Egbert, Neviges, DE  
IN BOSSERT FRIEDRICH; STOEPEL KURT; VATER WULF; WEHINGER EGBERT  
PAF Bayer Aktiengesellschaft, DE  
PA BAYER AG DE (29448)  
EXNAM Rotman, Alan L  
PI US 3974278 760810 (CITED IN 005 LATER PATENTS)  
AI US 75-576724 750512  
RLI US 74-485300 740702 DIVISION ABANDONED  
PRAI DE 73-2335466 730712  
FI US 3974278 760810  
BE 817540  
DE 2335466  
FR 2236497  
GB 1436289  
NL 7409344  
DT UTILITY  
FS CHEMICAL  
OS CA 82:156107  
CLMN 12  
AB A new class of 1,4-dihydropyridines which are characterized by the presence of ester substitutes at positions 3 and 5 of the nucleus and by the presence of an alkoxyalkyl at position 2. The products exhibit coronary activity and have particular application as coronary dilators, anti-fibrillators, antihypertensives, and as muscular and vascular spasmolytics.

L20 ANSWER 24 OF 24 IFICDB COPYRIGHT 1998 IFI  
AN 1018402 IFIPAT;IFIUDB;IFICDB  
TI 5-METHYLTHIO-PYRIMIDINE VASODILATORS  
INF Claverie, Jean-Marie, Enghien-les-Bains, FR  
Loiseau, Gerard, Sceaux, FR  
Mattioda, Georges, Enghien-les-Bains, FR  
Millischer, Rene, Pringy, FR  
Percheron, Francois, Brevannes, FR  
IN CLAVERIE JEAN-MARIE; LOISEAU GERARD; MATTIODA GEORGES; MILLISCHER

jones

RENE; PERCHERON FRANCOIS  
PAF Produits Chimiques Ugine Kuhlmann, Paris, FR  
PA PRODUITS CHIMIQUES UGINE KUHLMANN FR (47097)  
EXNAM Schenkman, Leonard  
AG Beveridge, DeGrandi, Kline & Lunsford  
PI US 3968214 760706 (CITED IN 005 LATER PATENTS)  
AI US 73-406129 731012  
PRAI FR 73-7324875 73.24875 730706  
FI US 3968214 760706  
DE 2342881  
FR 2244520  
GB 1450211  
NL 7312324  
DT UTILITY  
FS CHEMICAL  
OS CA 82:156364  
CLMN 13  
AB The compounds of the formula:

D R A W I N G

IN WHICH Y represents a chlorine atom or an alkoxy, dialkylaminoalkoxy, pyridylalkoxy group, R1 and R2 are identical or different substituents and represent alkyl or alkoxy carbonylalkyl groups, substituted or unsubstituted phenyl groups or form together with the nitrogen atom to which they are attached, a heterocyclic ring which may contain another heteroatom, R3 and R4 are identical or different substituents and represent alkyl groups or form, together with the nitrogen atom to which they are attached, a heterocyclic ring which may contain another hetero-atom; process for their preparation; medicaments comprising such compounds or salts thereof, and their use in the treatment of human beings. The present invention relates to new pyrimidines, to their use as medicaments on account of their spasmolytic, coronary dilator and hypoglycemic properties and to their preparation. These compounds, derived from 5-methylthio-pyrimidine, may be represented by the general formula:

D R A W I N G

IN WHICH Y represents a chlorine atom or an alkoxy, dialkylaminoalkoxy or pyridylalkoxy group, the alkoxy and alkyl groups preferably containing 1 to 4 carbon atoms, R1 and R2 are identical or different substituents and represent alkyl, alkoxy carbonylalkyl, substituted or unsubstituted phenyl or benzyl groups or form, together with the nitrogen atom to which they are attached, a heterocyclic ring which may contain another hetero-atom, the alkyl and alkoxy groups preferably containing 1 to 4 carbon atoms, R3 and R4 are identical or different substituents and represent alkyl groups preferably containing 1 to 4 carbon atoms or form, together with the nitrogen atom to which they are attached, a heterocyclic ring which may possibly contain another hetero-atom. Substituents of the phenyl group may be for example halogen atoms or alkyl, alkoxy or trihalomethyl groups. The compounds of formula (I) may be prepared for example by reacting a compound of the formula:

D R A W I N G

IN WHICH R1 and R2 have the same significance as above, with an ethylenediamine of the formula:

D R A W I N G

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in which R3 and R4 have the same significance as above, and possibly replacing the remaining chlorine atom by an alkoxy, dialkylamino-alkoxy or pyridylalkoxy group. The reaction with the compound of formula (III) is preferably effected in a solvent, in the presence of an acid-absorbing agent, at a temperature between 20°C. and 100°C. The substitution of the remaining chlorine atom may be effected for example by the action of an alcoholate of an alkali metal or by the action of an excess of the corresponding alcohol and caustic potash at the refluxing temperature.

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=> s l11 and l2

L21 927 L11 AND L2

=> s l21 and l10

L22 38 L21 AND L10

=> s l21 and l14

L23 104 L21 AND L14

=> s endothelin#####

L24 112 ENDOTHELIN#####

=> s l24 and l21

L25 5 L24 AND L21

=> d 125 1- bib,ab

YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):Y

L25 ANSWER 1 OF 5 IFICDB COPYRIGHT 1998 IFI

AN 2798691 IFIPAT;IFIUDB;IFICDB

TI BENZENESULFONAMIDE DERIVATIVE AND PROCESS FOR PREPARING THEREOF;  
ENDOTHELIN ANTAGONISTS

INF Kikkawa, Kohei, Kawaguchi, JP

Kohno, Rikako, Omiya, JP

Yamada, Koichiro, Saitama-ken, JP

Yasuda, Kosuke, Saitama-ken, JP

IN Kikkawa Kohei (JP); Kohno Rikako (JP); Yamada Koichiro (JP); Yasuda  
Kosuke (JP)

PAF Tanabe Seiyaku Co, Ltd, Osaka, JP

PA Tanabe Seiyaku Co Ltd JP (82733)

EXNAM Grumbling, Matthew V

AG Finnegan, Henderson, Farabow, Garrett & Dunner, LLP

PI US 5589478 961231

AI US 94-356958 941216

PRAI JP 93318779 931217

JP 94140628 940623

JP 94183553 940804

FI US 5589478 961231

DT UTILITY

FS CHEMICAL

MRN 7261 MFN: 0101

CLMN 15

AB A benzenesulfonamide derivative of the formula (I):

D R A W I N G

wherein Ring A and Ring B are the same or different and each

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substituted or unsubstituted benzene ring, Q is a single bond or a group of the formula: -O-, -S-, -SO-, -SO<sub>2</sub>- or -CH<sub>2</sub>-, Y is a group of the formula: -O-, -S- or -NH-, Alk is lower alkylene group or lower alkenylene group, Z is a single bond or a group of the formula: -O- or -NH-, R is a substituted or unsubstituted aromatic heterocyclic or aryl group, R1 is hydrogen atom, trifluoromethyl group, substituted or unsubstituted lower alkyl group, substituted or unsubstituted lower alkenyl group, mono- or di-lower alkylamino group, substituted or unsubstituted lower alkylthio group, substituted or unsubstituted lower alkoxy group, substituted or unsubstituted lower alkynyl group, aromatic heterocyclic group, substituted or unsubstituted aliphatic heterocyclic group or aryl group, provided that when Z is a single bond, R is a substituted or unsubstituted aromatic heterocyclic group, or a pharmaceutically acceptable salt thereof, and processes for preparing the same, these compounds having **endothelin** antagonistic activity and being useful in the prophylaxis or treatment of various diseases caused by **endothelin**.

L25 ANSWER 2 OF 5 IFICDB COPYRIGHT 1998 IFI  
AN 2745319 IFIPAT; IFIUDB; IFICDB  
TI SULFONYLAMINOPYRIMIDINES; **ENDOTHELIN** RECEPTOR INHIBITORS  
INF Breu, Volker, Schliengen, DE  
Burri, Kaspar, Binningen, CH  
Cassal, Jean-Marie, Mulhouse, FR  
Clozel, Martine, Saint-Louis, FR  
Hirth, Georges, Huningue, FR  
Loffler, Bernd-Michael, Oberriemsingen, DE  
Muller, Marcel, Frenkendorf, CH  
Neidhart, Werner, Bartenheim, FR  
Ramuz, Henri, Birsfelden, CH  
IN Breu Volker (DE); Burri Kaspar (CH); Cassal Jean-Marie (FR); Clozel Martine (FR); Hirth Georges (FR); Loffler Bernd-Michael (DE); Muller Marcel (CH); Neidhart Werner (FR); Ramuz Henri (CH)  
PAF Hoffmann-La Roche Inc, Nutley, NJ  
PA Hoffmann-La Roche Inc (39424)  
EXNAM Ford, John M  
AG Gould, George M  
Johnston, George W  
Silverman, Robert A  
PI US 5541186 960730  
AI US 94-266072 940627  
PRAI CH 931924 930628  
CH 941575 940520  
FI US 5541186 960730  
DT UTILITY; REASSIGNED  
FS CHEMICAL  
CLMN 23  
AB A compound of the formula

#### D R A W I N G

wherein R1 to R, Ra, RbX, Y, Z, m and n have the significance given in the description, can be used as medicaments, especially for the treatment and prophylaxis of conditions which are associated with **endothelin** activities.

L25 ANSWER 3 OF 5 IFICDB COPYRIGHT 1998 IFI  
AN 2609899 IFIPAT; IFIUDB; IFICDB  
TI PHENYLSULFONYLAMIDE PYRIMIDINE; TREATMENT OF HYPERTENSION,  
ISCHEMIA, VASOSPASMS, ANGINA  
INF Breu, Volker, Schliengen, DE  
Burri, Kaspar, Binningen, CH

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Cassal, Jean-Marie, Mulhouse, FR  
 Clozel, Martine, St Louis, FR  
 Hirth, Georges, Huningue, FR  
 Loffler, Bernd-Michael, Oberrimsingen, DE  
 Muller, Marcel, Frenkenforf, CH  
 Neidhart, Werner, Bartenheim, FR  
 Ramuz, Henri, Birsfelden, CH  
 IN Breu Volker (DE); Burri Kaspar (CH); Cassal Jean-Marie (FR); Clozel Martine (FR); Hirth Georges (FR); Loffler Bernd-Michael (DE); Muller Marcel (CH); Neidhart Werner (FR); Ramuz Henri (CH)  
 PAF Hoffmann-La Roche Inc, Nutley, NJ  
 PA Hoffmann-La Roche Inc (39424)  
 EXNAM Dees, Jose G  
 EXNAM Carr, Deborah D  
 AG Gould, George M  
 Johnston, George W  
 Silverman, Robert A  
 PI US 5420129 950530 (CITED IN 004 LATER PATENTS)  
 AI US 93-164167 931208  
 PRAI CH 92-3777 923777 921210  
 CH 92-3799 923799 921211  
 CH 93-3114 933114 931014  
 FI US 5420129 950530  
 DT UTILITY  
 FS CHEMICAL  
 MRN 6861 MFN: 0023  
 6861 0027  
 CLMN 14  
 AB The invention is concerned with novel sulphonamides and their use as medicaments. In particular, the invention is concerned with compounds of the formula

D R A W I N G

wherein R1 is hydrogen, lower-alkyl, lower-alkoxy, lower-alkylthio, halogen or trifluoromethyl; R2 is hydrogen, lower-alkyl, halogen, lower-alkoxy, trifluoromethyl or -OCH<sub>2</sub>COOR<sub>9</sub>; R3 is hydrogen, lower-alkyl, halogen, lower-alkylthio, trifluoromethyl, lower-alkoxy or trifluoromethoxy; R2 and R3 together are butadienyl, methylenedioxy, ethylenedioxy or isopropylidenedioxy; R4 is hydrogen, lower-alkyl, trifluoromethyl, lower-alkoxy, lower-alkylthio, hydroxy-lower-alkyl, hydroxy-lower-alkoxy, hydroxy-lower-alkoxy-lower-alkyl, hydroxy-lower-alkoxy-loweralkoxy, alkoxy-lower-alkyl, alkoxy-lower-alkyloxy, loweralkylsulfinyl, lower-alkylsulfonyl, 2-methoxy-3-hydroxypropoxy, 2-hydroxy-3-phenylpropyl, amino-lower-alkyl, lower-alkylaminolower-alkyl, di-lower-alkylamino-lower-alkyl, amino, loweralkylamino, di-lower-alkylamino, arylamino, aryl, arylthio, aryloxy, aryl-lower-alkyl, heterocyclyl, heterocyclo-loweralkyl, heterocyclylamino, heterocyclylthio, heterocyclyoxy, CHO, -CH<sub>2</sub>OH or -CH<sub>2</sub>Cl; R5 to R8 are independently hydrogen, halogen, trifluoromethyl, lower-alkoxy, lower-alkylthio or cyano; R6 and R5 or R7 together are butadienyl, methylene-dioxy, ethylenedioxy or isopropylidenedioxy; X is -O- or -S-; Y is -CHO, C1-4-alkyl, -(CH<sub>2</sub>)1-4-Z-R<sub>9</sub>, -(CH<sub>2</sub>)1-4-OC(O)(CH<sub>2</sub>).14CH<sub>3</sub>, -(CH<sub>2</sub>)1-4OC(O)Het, -(CH<sub>2</sub>)1-4NHC(O)R<sub>10</sub>, -(CH<sub>2</sub>)14OCH<sub>2</sub>CH(OH)CH<sub>2</sub>OH and cyclic ketals thereof, -(CH<sub>2</sub>)14NR<sub>9</sub>CH<sub>2</sub>CH(OH)CH<sub>2</sub>OH, -(CH<sub>2</sub>)1-4OCH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>, -(CH<sub>2</sub>)14OCH<sub>2</sub>CH<sub>2</sub>S(O)CH<sub>3</sub>, -(CH<sub>2</sub>)1-4O(CH<sub>2</sub>)1-4-Z H, -(CH<sub>2</sub>)1-4O(CH<sub>2</sub>)14OC(O)R<sub>10</sub>, -(CH<sub>2</sub>)1-4NR<sub>9</sub>(CH<sub>2</sub>)1-4Z H, -(CH<sub>2</sub>)1-4O(CH<sub>2</sub>)1-4OC(O)Het, -(CH<sub>2</sub>)0-3CH(OH)R<sub>10</sub>, -(CH<sub>2</sub>)0-3CH(OH)(CH<sub>2</sub>)1-4Z H, -(CH<sub>2</sub>)03CH(OH)CH<sub>2</sub>SCH<sub>3</sub>, -(CH<sub>2</sub>)0-3CH(OH)CH<sub>2</sub>S(O)CH<sub>3</sub>, -(CH<sub>2</sub>)03CH(OH)OCH<sub>2</sub>CH<sub>2</sub>OH,

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- (CH<sub>2</sub>)<sub>0-3</sub>C(O)(CH<sub>2</sub>)<sub>1-4</sub>CH<sub>3</sub>, - (CH<sub>2</sub>)<sub>0-3</sub>C(O)(CH<sub>2</sub>)<sub>14</sub>Z R11,  
- (CH<sub>2</sub>)<sub>0-3</sub>C(O)CH<sub>2</sub>Hal, - (CH<sub>2</sub>)<sub>1-4</sub>Hal, - (CH<sub>2</sub>)<sub>1-4</sub>CN, - (CH<sub>2</sub>)<sub>0-3</sub>C(O)OR<sub>9</sub>,  
-OR<sub>12</sub> or -SR<sub>12</sub>; R<sub>9</sub> is hydrogen or C<sub>1-4</sub>-alkyl; R<sub>10</sub> is C<sub>1-4</sub>-alkyl;  
R<sub>11</sub> is hydrogen, C<sub>1-4</sub>-alkanoyl or heterocyclic carbonyl; R<sub>12</sub> is  
C<sub>1-4</sub>-alkyl or - (CH<sub>2</sub>)<sub>0-4</sub>-aryl; Z is -O-, -S- or -NR<sub>9</sub>-; Het is a  
heterocyclic residue; Hal is halogen; and n is 0 or 1; and salts  
thereof.

L25 ANSWER 4 OF 5 IFICDB COPYRIGHT 1998 IFI  
AN 2589324 IFIPAT; IFIUDB; IFICDB  
TI QUINAZOLINONES SUBSTITUTED WITH PHENOXYPHENYLACETIC ACID  
DERIVATIVES; CARDIOVASCULAR DISORDERS OR HYPOTENSIVE AGENTS  
INF Bagley, Scott W, Rahway, NJ  
Chakravarty, Prasun K, Edison, NJ  
Chen, Anna, Rahway, NJ  
Dhanoa, Daljit S, Tinton Falls, NJ  
Fitch, Kenneth J, Cranford, NJ  
Greenlee, William J, Teaneck, NJ  
Naylor, Elizabeth M, Scotch Plains, NJ  
Tata, James R, Westfield, NJ  
Walsh, Thomas F, Westfield, NJ  
Williams, Jr, David L, Telford, PA  
IN Bagley Scott W; Chakravarty Prasun K; Chen Anna; Dhanoa Daljit S;  
Fitch Kenneth J; Greenlee William J; Naylor Elizabeth M; Tata James  
R; Walsh Thomas F; Williams David L Jr  
PAF Merck & Co, Inc, Rahway, NJ  
PA Merck & Co Inc (54136)  
EXNAM Ford, John M  
AG Camara, Valerie J  
Daniel, Mark R  
DiPrima, Joseph F  
PI US 5401745 950328 (CITED IN 001 LATER PATENTS)  
AI US 93-33595 930319  
FI US 5401745 950328  
DT UTILITY  
FS CHEMICAL  
MRN 7238 MFN: 0283  
CLMN 10  
AB Phenoxyphenylacetic acids and derivatives of general structural  
formula I

#### D R A W I N G

have **endothelin** antagonist activity and are therefore  
useful in treating cardiovascular disorders, such as hypertension,  
postischemic renal failure, vasospasm, cerebral and cardiac  
ischemia, myocardial infarction, inflammatory diseases, Raynaud's  
disease, and endotoxic shock, and asthma.

L25 ANSWER 5 OF 5 IFICDB COPYRIGHT 1998 IFI  
AN 2451969 IFIPAT; IFIUDB; IFICDB  
TI SULFONAMIDES  
INF Burri, Kaspar, Binningen, CH  
Clozel, Martine, St Louis, FR  
Fischli, Walter, Allschwil, CH  
Hirth, Georges, Huningue, FR  
Loffler, Bernd-Michael, Oberriemsingen, DE  
Neidhart, Werner, Bartenheim, FR  
Ramuz, Henri, Birsfelden, CH  
IN Burri Kaspar (CH); Clozel Martine (FR); Fischli Walter (CH); Hirth  
Georges (FR); Loffler Bernd-Michael (DE); Neidhart Werner (FR);  
Ramuz Henri (CH)  
PAF Hoffmann-La Roche Inc, Nutley, NJ

jones

PA Hoffmann-La Roche Inc (39424)  
EXNAM Ford, John M  
AG Coletti, Ellen Ciambrone  
Gould, George M  
Johnston, George W  
PI US 5292740 940308 (CITED IN 007 LATER PATENTS)  
AI US 92-896015 920609  
PRAI CH 91-1760 911760 910613  
CH 92-1516 921516 920512  
FI US 5292740 940308  
DT UTILITY  
FS CHEMICAL  
MRN 6255 MFN: 0768  
6319 0716  
6676 0159  
6676 0163  
CLMN 33  
AB The novel sulfonamides of formula I,

D R A W I N G

in which the symbols R1-R9, Ra, Rb, X, Y and n have the significance given in the description and salts thereof can be used for the treatment of circulatory disorders, especially hypertension, ischemia, vasopasms and angina pectoris.

=> d his

(FILE 'HOME' ENTERED AT 13:47:52 ON 06 JAN 1998)

FILE 'IFICDB' ENTERED AT 13:48:06 ON 06 JAN 1998  
L1 9026 S ((34553 OR 34615) (L) (33696 OR 33697 OR 33698 OR 33700 O  
L2 6196 S L1(NOTL) (34211 OR 33918 OR 34128 OR 33962OR 33079 OR 30  
L3 4230 S (02797)/UN OR HYPERTENSION?  
L4 417 S MYOCARDIAL INFARCT OR 03568/UN  
L5 55 S RAYNAUDS? OR RAYNAUD?  
L6 680 S 00441 OR ATHEROSCLEROSIS  
L7 0 S 08423  
L8 381 S 08423/UN  
L9 1408 S 00441/UN OR L6  
L10 2938 S 05859/UN OR 05860/UN  
L11 8483 S 514241000-514276000/NCLR  
L12 104 S L2 AND L10  
L13 38 S L11 AND L12  
L14 5913 S L3 OR L4 OR L5 OR L9  
L15 13 S L14 AND L13  
L16 4 S L12 AND L8  
L17 7 S L2 AND L8  
L18 20 S L15 OR L16 OR L17  
L19 44 S L13 OR L18  
L20 24 S L19 NOT L18  
L21 927 S L11 AND L2  
L22 38 S L21 AND L10  
L23 104 S L21 AND L14  
L24 112 S ENDOTHELIN#####  
L25 5 S L24 AND L21

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08/718,377

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

97.00

97.15

STN INTERNATIONAL LOGOFF AT 14:02:32 ON 06 JAN 1998

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